```
=> d his

     (FILE 'HOME' ENTERED AT 12:30:08 ON 26 AUG 2008)

     FILE 'REGISTRY' ENTERED AT 12:30:16 ON 26 AUG 2008
L1             STRUCTURE UPLOADED
L2         43429 S C6-C6N/EA
L3            41 S C3-C6-C6N/EA
L4            81 S C4-C6-C6N/EA
L5           713 S C5-C6-C6N/EA
L6         16282 S C6-C6-C6N/EA
L7            82 S C6-C6N-C7/EA
L8         17199 S L3 OR L4 OR L5 OR L6 OR L7
L9           909 S L8 AND SPIRO
L10        44338 S L9 OR L2
L11           50 S L1  SUB=L10 SAM
L12        13163 S L1  SUB=L10 FUL

     FILE 'CAPLUS' ENTERED AT 12:41:55 ON 26 AUG 2008

     FILE 'REGISTRY' ENTERED AT 12:43:25 ON 26 AUG 2008

     FILE 'CAPLUS' ENTERED AT 12:45:01 ON 26 AUG 2008

     FILE 'REGISTRY' ENTERED AT 12:53:09 ON 26 AUG 2008

     FILE 'CAPLUS' ENTERED AT 12:57:40 ON 26 AUG 2008

     FILE 'REGISTRY' ENTERED AT 12:58:59 ON 26 AUG 2008
L13             8 S L12 AND L9
L14               STRUCTURE UPLOADED
L15           514 S L14  SUB=L12 FUL

     FILE 'CAPLUS' ENTERED AT 13:02:26 ON 26 AUG 2008
L16            50 S L15
L17            37 S L16 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO OR 2004/SO)
L18             6 S L13
L19            40 S L17 OR L18

=> d l1
L1 HAS NO ANSWERS
L1                STR
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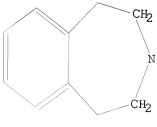
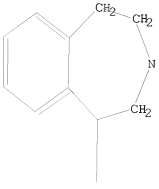


Structure attributes must be viewed using STN Express query preparation.

=> d l14
L14 HAS NO ANSWERS
L14 STR



Structure attributes must be viewed using STN Express query preparation.

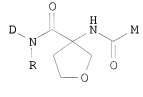
=> d ibib abs hitstr total

L19 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:831458 CAPLUS
DOCUMENT NUMBER: 149:153369
TITLE: Synthesis of 3-aminotetrahydrofuran-3-carboxylic acid derivatives for use as medicaments
INVENTOR(S): Han, Zhengxu; Gerlach, Kai; Krishnamurthy, Dhileepkumar; Matthes, Burkhard; Nar, Herbert; Priepke, Henning; Schuler-Metz, Annette; Senanayake, Chris H.; Sieger, Peter; Tang, Wenjun; Wienen, Wolfgang; Xu, Yibo; Yee, Nathan K.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma Gmbh & Co. K.-G.; Pfau, Roland
SOURCE: PCT Int. Appl., 178pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008080891	A2	20080710	WO 2007-EP64406	20071221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-882937P P 20061231
GI



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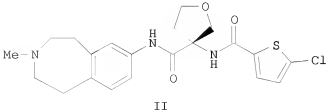


II

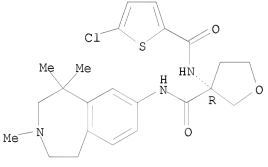
AB The invention relates to the manufacture of 3-aminotetrahydrofuran-3-carboxylic acid amides I [D is substituted benzo[d]azepin-7-yl, 6/8/9-aza analogs, or 4-(pyrrolidinocarbonyl)phenyl residues; M is (un)substituted 2-thienyl; R is H or alkyl], including enantiomers, diastereomers, and physiol.-acceptable salts. Thus, aminotetrahydrofurancarboxylic acid benzo[d]azepin-7-ylamide II was prepared via sequential amidation reactions.

IT 1037302-00-3P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037302-00-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

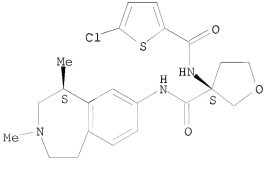


IT 1037301-25-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-25-9 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

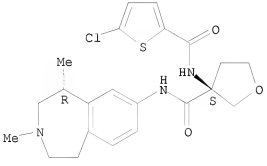


IT 1037301-39-5P 1037301-40-8P 1037301-41-9P
1037301-45-3P 1037301-46-4P 1037301-99-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-39-5 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

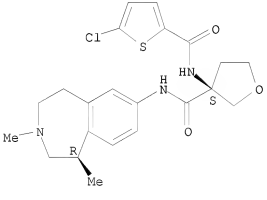
Absolute stereochemistry.



RN 1037301-40-8 CAPLUS

CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(1R)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

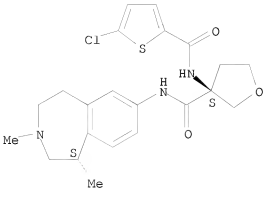
Absolute stereochemistry.



RN 1037301-41-9 CAPLUS

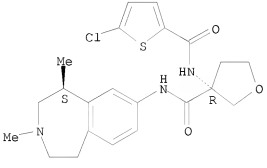
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(1S)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



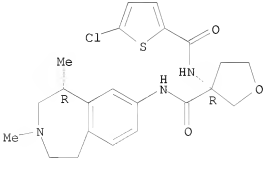
RN 1037301-45-3 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



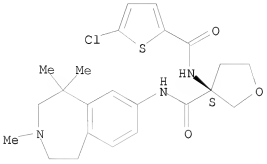
RN 1037301-46-4 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



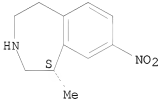
RN 1037301-99-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 1037301-31-7P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)
RN 1037301-31-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro-, (1S)- (CA INDEX NAME)

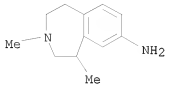
Absolute stereochemistry.



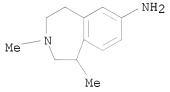
IT 23266-24-2P 919099-24-4P 919099-25-5P
1037301-18-0P 1037301-19-1P 1037301-29-3P
1037301-32-8P 1037301-33-9P 1037301-43-1P
1037301-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)
RN 23266-24-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

RN 919099-24-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)

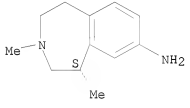


RN 919099-25-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



RN 1037301-18-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

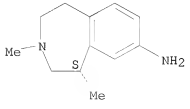


RN 1037301-19-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 1037301-18-0
CMF C12 H18 N2

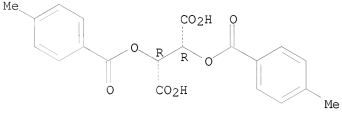
Absolute stereochemistry.



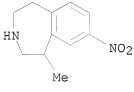
CM 2

CRN 32634-66-5
CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).

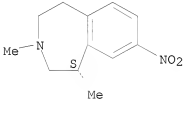


RN 1037301-29-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro- (CA INDEX NAME)



RN 1037301-32-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

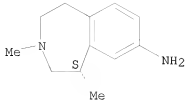


RN 1037301-33-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 1037301-18-0
CMF C12 H18 N2

Absolute stereochemistry.

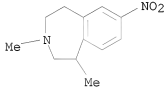


CM 2

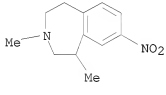
CRN 17199-29-0
CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).

RN 1037301-43-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-7-nitro- (CA INDEX NAME)



RN 1037301-44-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro- (CA INDEX NAME)

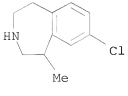


L19 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:703346 CAPLUS
DOCUMENT NUMBER: 149:32211
TITLE: Processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor agonists
INVENTOR(S): Gharbaoui, Tawfik; Tandel, Sagun K.; Ma, You-An; Carlos, Marlon; Fritch, John Robert
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070111	A2	20080612	WO 2007-US24900	20071204
WO 2008070111	A3	20080807		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

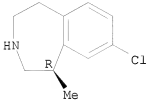
PRIORITY APPLN. INFO.: US 2006-873036P P 20061205
OTHER SOURCE(S): CASREACT 149:32211; MARPAT 149:32211
AB Processes for the preparation of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepines and their intermediates is presented. Compds. of the present invention are useful as serotonin-2C (5-HT2C) receptor agonists for the treatment of obesity.
IT 616201-80-0P 1030624-49-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor agonists)
RN 616201-80-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 1030624-49-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrate (2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

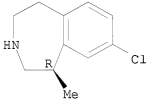


●1/2 H_2O

IT 616202-92-7P 824430-78-6P 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride 856681-05-5P 1030624-46-4P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT2C) receptor agonists)

RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

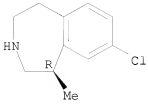


RN 824430-78-6 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

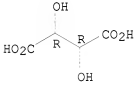
Absolute stereochemistry.



CM 2

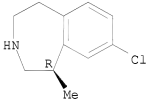
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

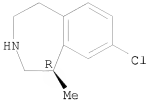
Absolute stereochemistry.



● HCl

RN 856681-05-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

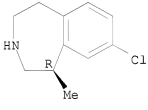
●1/2 H_2O

RN 1030624-46-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

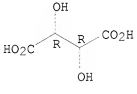
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



L19 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:529900 CAPLUS
DOCUMENT NUMBER: 148:538288
TITLE: Preparation of fused bicyclic derivatives of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors
INVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry Joseph; Burke, Jason; Curry, Matthew A.; Diebold, James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng, Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan; Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang; Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.; Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.; Tripathy, Rabindranath; Underiner, Theodore L.; Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.; You, Ming; Zificsak, Craig A.
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 1297pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-853562P P 20061023
OTHER SOURCE(S): MARPAT 148:538288
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halo, NO2, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO2H and derivs., NH2 and derivs., OCHF2, etc.; A1-A5 = independently (CH2)1-2 and derivs., CO, NH and derivs., S, SO, SO2, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO3/H2SO4, alkylation with Me iodide, reduction of the nitro intermediate and amination of

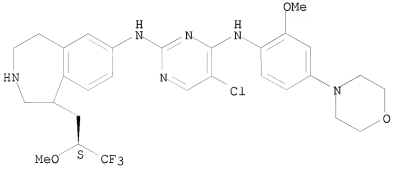
2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC50 < 0.1 μM.

IT 1022970-66-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)

RN 1022970-66-6 CAPLUS

CN 2,4-Pyrimidinediamine, 5-chloro-N4-[2-methoxy-4-(4-morpholinyl)phenyl]-N2-[2,3,4,5-tetrahydro-1-[(2S)-3,3,3-trifluoro-2-methoxypropyl]-1H-3-benzazepin-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

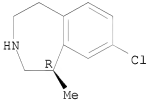
L19 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:526837 CAPLUS
DOCUMENT NUMBER: 148:509943
TITLE: Combination therapy for diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alcoholism
INVENTOR(S): Tam, Peter Y.; Wilson, Leland F.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 19pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080103179	A1	20080501	US 2007-764116	20070615
PRIORITY APPLN. INFO.:			US 2006-854756P P	20061027

AB The present invention features a novel therapy for treating diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alc. addiction which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) in combination with an anticonvulsant sulfamate compound (e.g., topiramate) or an anticonvulsive sulfonylurea compound (e.g. zonisamide).

IT 846589-98-8, Lorcaserin hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alcoholism)
RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L19 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1204827 CAPLUS
DOCUMENT NUMBER: 147:486344
TITLE: Processes for preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates
INVENTOR(S): Weigl, Ulrich; Porstmann, Frank; Straessler, Christoph; Ulmer, Lars; Koetz, Ulf
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007120517	A2	20071025	WO 2007-US8170	20070402
WO 2007120517	A3	20080619		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-789191P P 20060403
OTHER SOURCE(S): CASREACT 147:486344

AB The present invention provides a process for the preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine, salts, hydrates, and crystal forms thereof. For example, 2-(4-chlorophenyl)ethanol was brominated with phosphorous tribromide, followed by addition of 1-amino-2-propanol and reaction with thionyl chloride to give 4-chloro-N-(2-chloropropyl)benzeneethanamine hydrochloride. The intermediate obtained in the previous step was reacted with aluminum chloride in 1,2-dichlorobenzene, followed by optical resolution with L-tartaric acid to give (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hemitartrate. The compds. are useful serotonin (5-HT) receptor agonists for the treatment of central nervous system disorders, such as obesity.

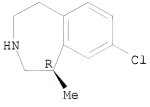
IT 847063-12-1P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)

RN 847063-12-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

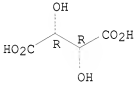
Absolute stereochemistry.



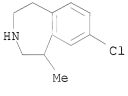
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

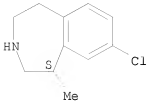


IT 616201-80-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)
RN 616201-80-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



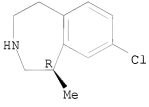
IT 616202-81-4P 616202-92-7P 846589-98-8P
856681-05-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)
RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



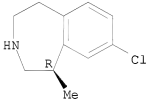
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

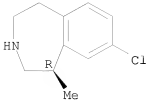
Absolute stereochemistry.



● HCl

RN 856681-05-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

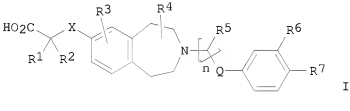
● 1/2 H_2O

L19 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1176022 CAPLUS
DOCUMENT NUMBER: 147:469249
TITLE: Benzazepinyloxyacetic acid derivatives as PPAR-delta agonists used for the increase of HDL-C, lower LDL-C and lower cholesterol and their preparation
INVENTOR(S): Kuo, Gee-Hong; Zhang, Yan; Shen, Lan; Lu, Songfeng; Demarest, Keith T.; Peiton, Patricia
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 113pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

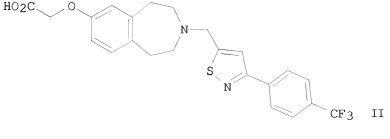
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070244094	A1	20071018	US 2007-736221	20070417
WO 2007121432	A2	20071025	WO 2007-US66772	20070417

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-793001P P 20060418
OTHER SOURCE(S): MARPAT 147:469249
GI



I

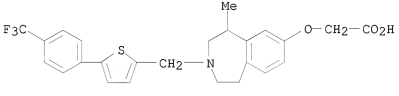


II

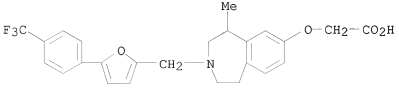
AB The invention is directed to compds. of formula I useful as PPAR agonists. Pharmaceutical compns. and methods of treating one or more conditions including, but not limited to, diabetes, nephropathy, neuropathy, retinopathy, polycystic ovary syndrome, hypertension, ischemia, stroke, irritable bowel disorder, inflammation, cataract, cardiovascular diseases, Metabolic X Syndrome, hyper-LDL-cholesterolemia, dyslipidemia (including hypertriglyceridemia, hypercholesterolemia, mixed hyperlipidemia, and hypo-HDL-cholesterolemia), atherosclerosis, obesity, and other disorders related to lipid metabolism and energy homeostasis complications thereof, using compds. of the invention are also described. Compds. of formula I wherein X is a covalent bond, O and S; R1 and R2 are independently H, and (un)substituted C1-8 alkyl; R1R2 and the carbon they are attached together may form C3-7 cycloalkyl; R3 is H; R4 and R5 are independently H, halo, C1-8 alkyl, C3-7 cycloalkyl, etc.; R5 and R7 are independently H, halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; n is 1; Q is (un)substituted 5- to 6-membered heteroarom. ring; and their enantiomers, diastereoisomers, tautomers, solvates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (detailed procedure given). All the invention compds. were evaluated for their PPAR-δ agonistic activity. From the assay, it was determined that compound II exhibited EC50 value of 34.1 nM against PPARδ.

IT 952709-53-4P 952709-54-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 952709-53-4 CAPLUS
CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

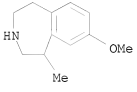


RN 952709-54-5 CAPLUS
CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

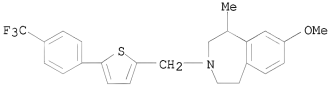


IT 849663-07-6P 952710-34-8P 952710-35-9P
952710-36-0P 952710-37-1P 952710-38-2P
952710-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

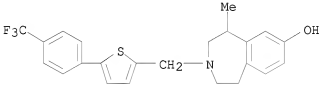
RN 849663-07-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl- (CA INDEX NAME)



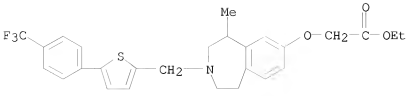
RN 952710-34-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



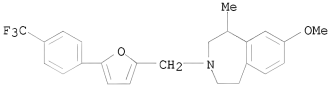
RN 952710-35-9 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



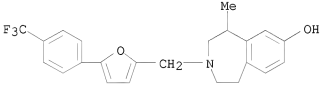
RN 952710-36-0 CAPLUS
CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)



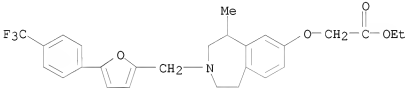
RN 952710-37-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 952710-38-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



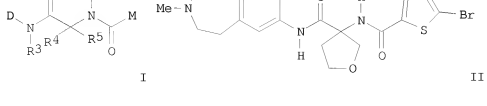
RN 952710-39-3 CAPLUS
CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)



L19 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:35810 CAPLUS
DOCUMENT NUMBER: 146:142521
TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents
INVENTOR(S): Priepke, Henning; Dahmann, Georg; Gerlach, Kai; Pfau, Roland; Wienen, Wolfgang; Schuler-Metz, Annette; Handschuh, Sandra; Nar, Herbert
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SOURCE: PCT Int. Appl., 185pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003536	A1	20070111	WO 2006-EP63611	20060628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006265216	A1	20070111	AU 2006-265216	20060628
CA 2613059	A1	20070111	CA 2006-2613059	20060628
EP 1899330	A1	20080319	EP 2006-763910	20060628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
NO 2007005186	A	20080214	NO 2007-5186	20071011
IN 2007DN09037	A	20080104	IN 2007-DN9037	20071123
MX 200716253	A	20080307	MX 2007-16253	20071218
CN 101213195	A	20080702	CN 2006-80024267	20080102
KR 2008033318	A	20080416	KR 2008-702478	20080130
PRIORITY APPLN. INFO.:			EP 2005-14270 A	20050630
			WO 2006-EP63611 W	20060628

OTHER SOURCE(S): MARPAT 146:142521
GI



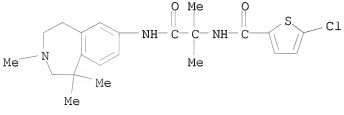
I II

AB Title compds. I [D = substituted bicyclic ring system with provisos; R3 = H, alkyl; R4, R5 = H, alkyl, alkenyl, etc.; M = substituted thiophene with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, benzazepine II was prepared from 3-trifluoroacetyl-7-nitro-2,3,4,5-tetrahydro-1H-benzo(d)azepine in 6-steps. Compds. I are claimed useful as antithrombotic agents.

IT 919097-19-1P 919097-21-5P 919097-26-0P
919097-94-2P 919097-96-4P 919098-92-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrobenzazepines as antithrombotic agents)

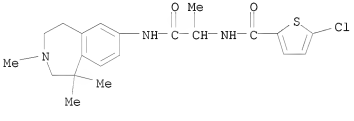
RN 919097-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



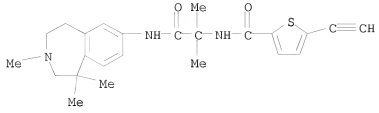
RN 919097-21-5 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)

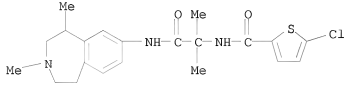


RN 919097-26-0 CAPLUS

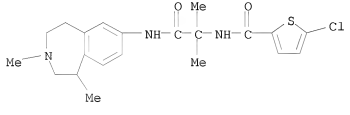
CN 2-Thiophenecarboxamide, N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]-5-ethynyl- (CA INDEX NAME)



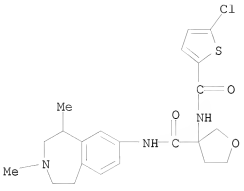
RN 919097-94-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



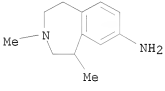
RN 919097-96-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



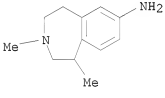
RN 919098-92-3 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



IT 919099-24-4P 919099-25-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrobenzazepines as antithrombotic agents)
RN 919099-24-4 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



RN 919099-25-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



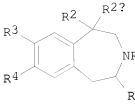
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:656846 CAPLUS
DOCUMENT NUMBER: 145:124478
TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivatives as selective 5HT-2C receptor agonists
INVENTOR(S): Behan, Dominic P.; Smith, Brian M.; Bjenning, Christina
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

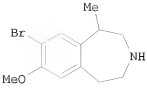
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071740	A2	20060706	WO 2005-US46654	20051221
WO 2006071740	A3	20070419		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005322183	A1	20060706	AU 2005-322183	20051221
CA 2588941	A1	20060706	CA 2005-2588941	20051221
EP 1833473	A2	20070919	EP 2005-855247	20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008525480	T	20080717	JP 2007-548479	20051221
IN 2007KN02012	A	20070810	IN 2007-KN2012	20070604
CN 101123955	A	20080213	CN 2005-80043743	20070620
KR 2007091030	A	20070906	KR 2007-716812	20070720

PRIORITY APPLN. INFO.:
US 2004-638667P P 20041223
US 2005-688901P P 20050608
WO 2005-US46654 W 20051221

OTHER SOURCE(S): MARPAT 145:124478
GI



I



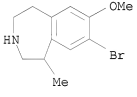
II

AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = alkyl, OH, CH2OH, etc.; R2a = H or R2R2a = -CH2CH2-; R3, R4 = independently H, halo, cyano, etc., or R3R4 = one oxygen containing heterocyclyl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as 5HT-2C receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-methoxyphenethylamine. II showed EC50 with 4.2 nM in intracellular IP3 accumulation assay, and was tested for inhibition of food intake in food-deprived rats. Thus, I and their pharmaceutical compns. are useful as selective 5HT-2C receptor agonist for the treatment of obesity.

IT 616201-55-9P, 8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P 616201-80-0P, 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

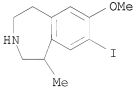
RN 616201-55-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



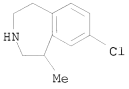
RN 616201-57-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)



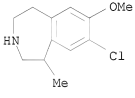
RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616201-56-0P, 8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P, 8-Bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-91-3P, N-Methyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-05-2P, 8-Trifluoromethyl-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-07-4P, 8-Chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616201-56-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-73-1 CAPLUS
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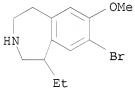
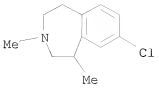
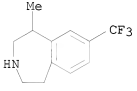


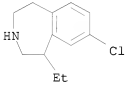
RN 616201-91-3 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



RN 616202-05-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

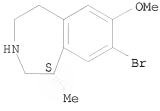


RN 616202-07-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



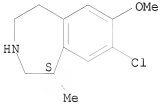
IT 616202-75-6P 616202-76-7P 616202-77-8P
616202-79-0P 616202-81-4P 616202-82-5P
616202-84-7P 616202-85-8P 616202-86-9P
616202-87-0P 616202-88-1P 616202-90-5P
616202-92-7P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-93-8P 616202-95-0P
616202-96-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
RN 616202-75-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



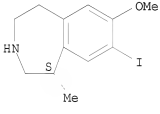
RN 616202-76-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



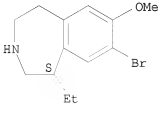
RN 616202-77-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 616202-79-0 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-
(CA INDEX NAME)

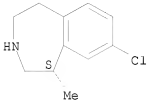
Absolute stereochemistry.



RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX

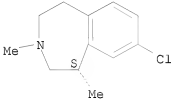
NAME)

Absolute stereochemistry.



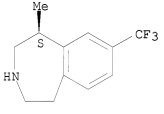
RN 616202-82-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



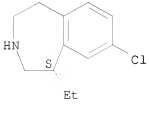
RN 616202-84-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



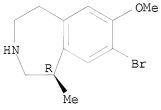
RN 616202-85-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



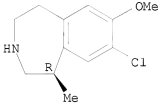
RN 616202-86-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



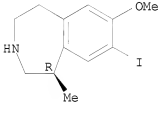
RN 616202-87-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



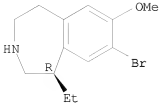
RN 616202-88-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



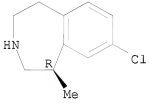
RN 616202-90-5 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



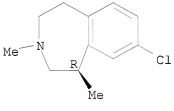
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



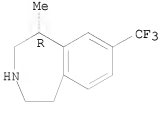
RN 616202-93-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616202-95-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)- (CA INDEX NAME)

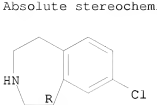
Absolute stereochemistry.



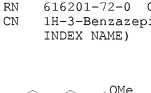
RN 616202-96-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX

NAME)

Absolute stereochemistry.



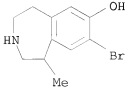
IT 616201-72-0P, 8-Bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
RN 616201-72-0 CAPLUS
CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



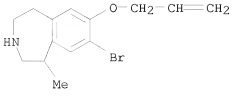
IT 616201-58-2P, 8-Bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-59-3P, 7-Allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-60-6P, 7-Benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-61-7P, 8-Bromo-7-ethoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-62-8P, 8-Bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-63-9P, N-Methyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-64-0P, N-Propyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-65-1P, 7-Hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-66-2P, 7-Allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-68-4P, 7-Allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-69-5P, 7-Methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-70-8P, 8-Cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-74-2P, 8-Chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-75-3P, 8-Bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-76-4P, 8-Bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-77-5P, 7-Allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-81-1P, 7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-82-2P, 7-(4-Bromo-2-methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-

benzazepine 616201-83-3P, 7-(3-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-84-4P, 7-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-86-6P, 8-Bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-87-7P, 8-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-88-8P, 7-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-89-9P, 7-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-90-2P, 7,8-Dichloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-92-4P, 1-Methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-93-5P, 8-Iodo-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-94-6P, N-Propyl-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-95-7P, 1-Ethyl-8-iodo-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-96-8P, 7-(3-Methoxyphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-97-9P, 7-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-98-0P, 7-(2-Fluorophenyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-99-1P, 7-(2-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-00-7P, 7-(3-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-01-8P, 7-(4-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-02-9P, 8-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-03-0P, 7-Methoxy-1-methyl-8-trifluoromethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-04-1P, 7-Methoxy-1-methyl-8-pentafluoroethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-06-3P 616202-08-5P, 8-Chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-69-8P, 8-Iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-70-1P, 8-Trifluoromethyl-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-71-2P, 8-Bromo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-72-3P, 8-Iodo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-73-4P, 7,8-Dichloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-74-5P, 8-Chloro-7-fluoro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

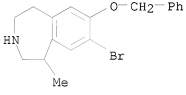
RN 616201-58-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



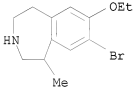
RN 616201-59-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



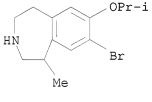
RN 616201-60-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)



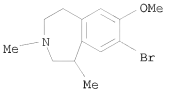
RN 616201-61-7 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



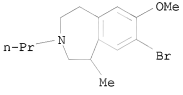
RN 616201-62-8 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)- (CA INDEX NAME)



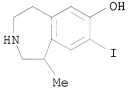
RN 616201-63-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA INDEX NAME)



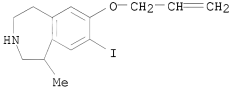
RN 616201-64-0 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl- (CA INDEX NAME)



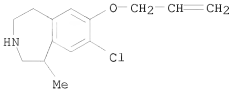
RN 616201-65-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



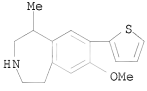
RN 616201-66-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



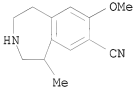
RN 616201-68-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



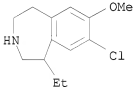
RN 616201-69-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-
(CA INDEX NAME)



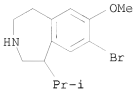
RN 616201-70-8 CAPLUS
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-
(CA INDEX NAME)



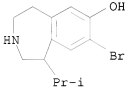
RN 616201-74-2 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA
INDEX NAME)



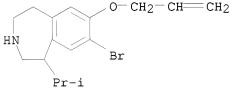
RN 616201-75-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-
(CA INDEX NAME)



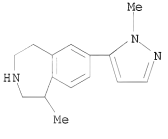
RN 616201-76-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)



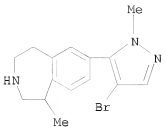
RN 616201-77-5 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)



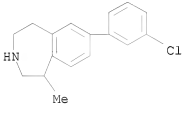
RN 616201-81-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



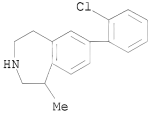
RN 616201-82-2 CAPLUS
CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



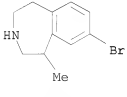
RN 616201-83-3 CAPLUS
CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



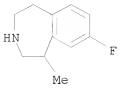
RN 616201-84-4 CAPLUS
CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



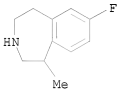
RN 616201-86-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



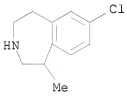
RN 616201-87-7 CAPLUS
CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



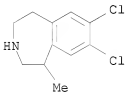
RN 616201-88-8 CAPLUS
CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



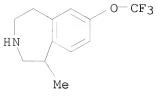
RN 616201-89-9 CAPLUS
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



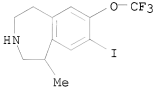
RN 616201-90-2 CAPLUS
CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



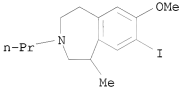
RN 616201-92-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



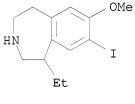
RN 616201-93-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-
(CA INDEX NAME)



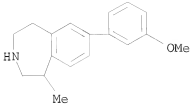
RN 616201-94-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-
(CA INDEX NAME)



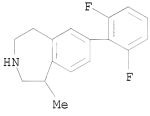
RN 616201-95-7 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX
NAME)



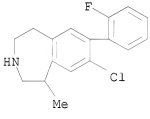
RN 616201-96-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA
INDEX NAME)



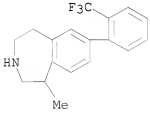
RN 616201-97-9 CAPLUS
CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-98-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

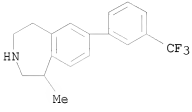


RN 616201-99-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

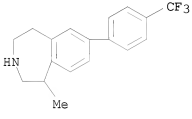


RN 616202-00-7 CAPLUS

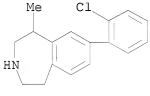
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



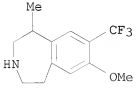
RN 616202-01-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 616202-02-9 CAPLUS
CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

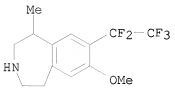


RN 616202-03-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

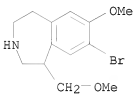


RN 616202-04-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-

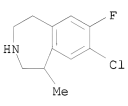
pentafluoroethyl)- (CA INDEX NAME)



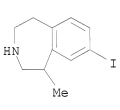
RN 616202-06-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)- (CA INDEX NAME)



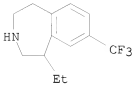
RN 616202-08-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



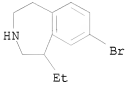
RN 616202-69-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



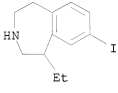
RN 616202-70-1 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)



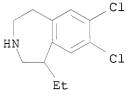
RN 616202-71-2 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



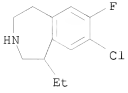
RN 616202-72-3 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)



RN 616202-73-4 CAPLUS
CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



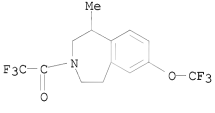
RN 616202-74-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)



IT 616202-59-6, N-(Trifluoroacetyl)-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-60-9, N-(Trifluoroacetyl)-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

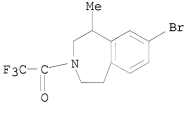
RN 616202-59-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-60-9 CAPLUS

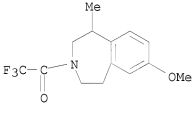
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



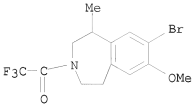
IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-13-2P, N-(Trifluoroacetyl)-8-chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-14-3P, N-(Trifluoroacetyl)-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-15-4P, N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-16-5P, N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-17-6P, N-(Trifluoroacetyl)-7-benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-18-7P, N-(Trifluoroacetyl)-8-bromo-7-ethyloxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-19-8P, N-(Trifluoroacetyl)-8-bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

616202-20-1P, N-(Trifluoroacetyl)-7-hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-21-2P, N-(Trifluoroacetyl)-7-allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-23-4P, N-(Trifluoroacetyl)-8-chloro-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-24-5P, N-(Trifluoroacetyl)-7-allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-25-6P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-26-7P, N-(Trifluoroacetyl)-8-cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-29-0P, N-(Trifluoroacetyl)-1-hydroxymethyl-7-methyloxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-30-3P, N-(Trifluoroacetyl)-8-bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-33-6P, N-(Trifluoroacetyl)-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-34-7P, N-(Trifluoroacetyl)-8-bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-35-8P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-38-1P, N-(Trifluoroacetyl)-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-39-2P, N-(Trifluoroacetyl)-8-bromo-1-isopropyl-7-methyloxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-40-5P, N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-41-6P, N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-52-9P, N-(Trifluoroacetyl)-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-53-0P 616202-54-1P, N-(Trifluoroacetyl)-7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-55-2P, N-(Trifluoroacetyl)-7-(4-bromo-2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-65-4P 616202-67-6P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-68-7P, N-(Trifluoroacetyl)-8-chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

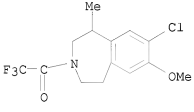
RN 616202-11-0 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



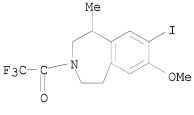
RN 616202-12-1 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



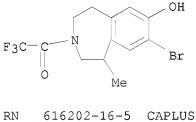
RN 616202-13-2 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



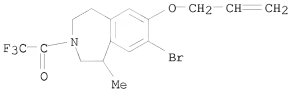
RN 616202-14-3 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



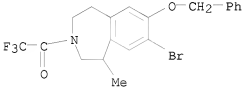
RN 616202-15-4 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



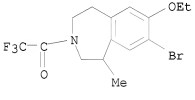
RN 616202-16-5 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



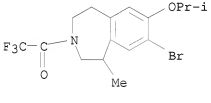
RN 616202-17-6 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



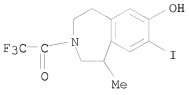
RN 616202-18-7 CAPLUS
CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



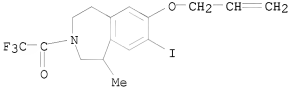
RN 616202-19-8 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



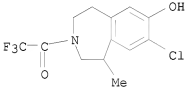
RN 616202-20-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



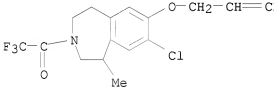
RN 616202-21-2 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



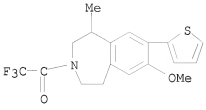
RN 616202-23-4 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



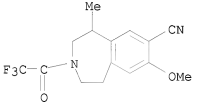
RN 616202-24-5 CAPLUS
CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



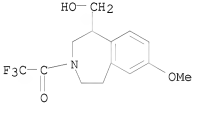
RN 616202-25-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



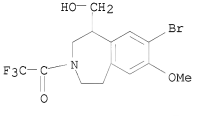
RN 616202-26-7 CAPLUS
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



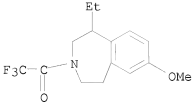
RN 616202-29-0 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



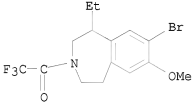
RN 616202-30-3 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



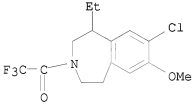
RN 616202-33-6 CAPLUS
CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



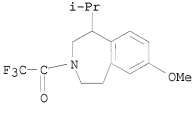
RN 616202-34-7 CAPLUS
CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



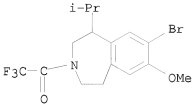
RN 616202-35-8 CAPLUS
CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



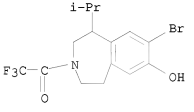
RN 616202-38-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



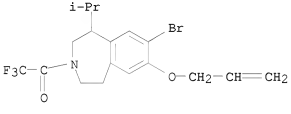
RN 616202-39-2 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



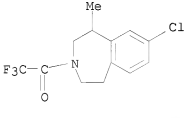
RN 616202-40-5 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



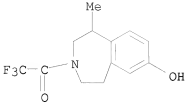
RN 616202-41-6 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



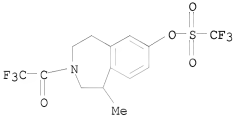
RN 616202-51-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



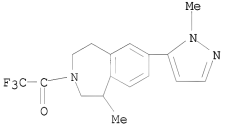
RN 616202-52-9 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



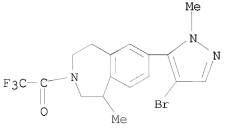
RN 616202-53-0 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



RN 616202-54-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

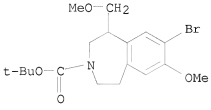


RN 616202-55-2 CAPLUS
CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

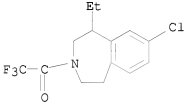


RN 616202-65-4 CAPLUS

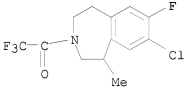
CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 616202-67-6 CAPLUS
CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-68-7 CAPLUS
CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



L19 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:635052 CAPLUS
DOCUMENT NUMBER: 145:83251
TITLE: Preapartion of polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate
INVENTOR(S): Agarwal, Rajesh Kumar; Betts, William L., III; Henshilwood, James A.; Kiang, Yuan-Hon; Post, Noah
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069363	A2	20060629	WO 2005-US46983	20051220
WO 2006069363	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005318959	A1	20060629	AU 2005-318959	20051220
CA 2589988	A1	20060629	CA 2005-2589988	20051220
EP 1838677	A2	20071003	EP 2005-855526	20051220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101084193	A	20071205	CN 2005-80043392	20051220
JP 2008524262	T	20080710	JP 2007-547060	20051220
IN 2007KN02296	A	20070817	IN 2007-KN2296	20070621
KR 2007098870	A	20071005	KR 2007-716727	20070720

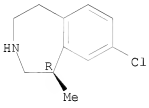
PRIORITY APPLN. INFO.: US 2004-638221P P 20041221
WO 2005-US46983 W 20051220

AB Polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate, useful as a 5-HT2c receptor agonist and for the treatment of diseases responsive to 5-HT2c receptor agonists (e.g., depression), are prepared

IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)

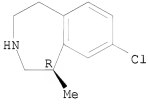
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



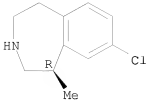
IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)
RN 846589-98-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 856681-05-5P 893407-21-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)
RN 856681-05-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

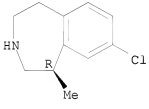


● HCl

●1/2 H_2O

RN 893407-21-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:3), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



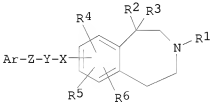
● HCl

●3/2 H_2O

L19 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:409483 CAPLUS
DOCUMENT NUMBER: 142:463622
TITLE: Preparation of benzazepine derivatives and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity
INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Estrada, Scott
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042491	A1	20050512	WO 2004-US34917	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20080009478	A1	20080110	US 2007-576849	20070409
PRIORITY APPLN. INFO.:			US 2003-513865P P	20031022
			WO 2004-US34917 W	20041021

OTHER SOURCE(S): CASREACT 142:463622; MARPAT 142:463622
GI



I

AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT2C receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT2C receptor associated diseases, conditions or disorders, such as, obesity and related disorders. For I: X

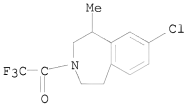
is O, S, SO, SO2, CO, COO, NR7, CONR7, SONR7, SO2NR7, NR7CONR7 or is absent; Y is C1-C10 alkenyl or is absent, wherein Y is (un)substituted by halo, C1-C4 alkyl, C1-C4 alkoxy, C1-C4 haloalkyl, C1-C4 haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO2 or absent; R1 is H, C1-C8 alkyl, C3-C7 cycloalkyl, or C1-C8 haloalkyl; R2 is C1-C8 alkyl or C1-C8 haloalkyl; R3 is H, C1-C8 alkyl, or C1-C8 haloalkyl; or R2 and R3 together with the C atom to which they are attached form a C3-C7 cycloalkyl. R4, R5, and R6 = H, halo, C1-C8 alkyl, C1-C8 haloalkyl, C2-C8 alkenyl, C2-C8 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C1-C8 alkoxy, C1-C8 thioalkoxy, C1-C8 haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR8R9, NR8COR10, COR10, COOR11, or CONR8R9; R7 is H, C1-C4 alkyl, or C1-C4 haloalkyl; R8 and R9 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R8 and R9 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R10 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R11 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un)substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7 cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un)substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7, cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. R12 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R3 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R14 and R15 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R14 and R15 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example prepns. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT2C IC50 values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP3 accumulation assay.

IT 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(chromatog. resolution; preparation of benzazepine derivs. and methods of

prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 616202-51-8 CAPLUS

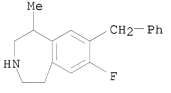
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 851478-31-4P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 851478-31-4 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 851477-53-7P, (2-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-55-9P, (3-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-56-0P, (4-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-57-1P, (Indan-1-yl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-58-2P, (Biphenyl-4-ylmethyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-59-3P, [2-(3,4-Dimethoxyphenyl)ethyl][(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-60-6P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid benzylamide hydrochloride 851477-63-9P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenylamide hydrochloride 851477-64-0P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid

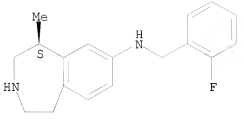
phenethylamide hydrochloride 851477-65-1P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid N-(phenpropyl)amide hydrochloride 851477-66-2P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid 4-phenylbenzylamide hydrochloride 851477-67-3P, (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-70-8P, (S)-7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-73-1P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-74-2P, 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851477-79-7P, (S)-8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-81-1P, (R)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-84-4P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851477-87-7P, (S)-1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-90-2P, (S)-8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-92-4P, (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-96-8P, (S)-8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-99-1P, (S)-1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-02-9P, (S)-8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-05-2P, (S)-8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-07-4P, (S)-8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-09-6P, (S)-8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-12-1P, (S)-8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-14-3P, (S)-8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-16-5P, (S)-8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-18-7P, (S)-1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-19-8P, (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone hydrochloride 851478-22-3P, (S)-(5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone hydrochloride 851478-24-5P, (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-29-0P, (S)-6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-32-5P, (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-36-9P, 7-(3-Fluorobenzyloxy)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-38-1P, 1-Methyl-8-(2-phenoxyethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-39-2P, (4-Fluorobenzyl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-40-5P, (Biphenyl-4-ylmethyl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-41-6P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenylamide 851478-42-7P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid benzylamide 851478-43-8P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenethylamide 851478-44-9P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid N-(phenpropyl)amide 851478-45-0P

851478-46-1P, [2-(3,4-Dimethoxyphenyl)ethyl](5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-47-2P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-48-3P, (Indan-1-yl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-49-4P, 7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-50-7P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-51-8P, 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-52-9P, 8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-53-0P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-54-1P, 1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-55-2P, 8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-56-3P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-57-4P, 8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-58-5P, 1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-59-6P, 8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-60-9P, 8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-61-0P, 8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-62-1P, 8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-63-2P, 8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-64-3P, 8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-65-4P, 8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-66-5P, 1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-67-6P, (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone 851478-68-7P, (5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone 851478-69-8P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-70-1P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 851477-53-7 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

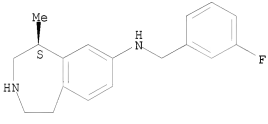
Absolute stereochemistry.



● HCl

RN 851477-55-9 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

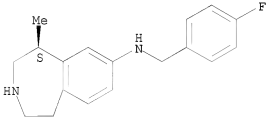
Absolute stereochemistry.



● HCl

RN 851477-56-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

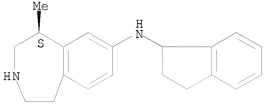
Absolute stereochemistry.



● HCl

RN 851477-57-1 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

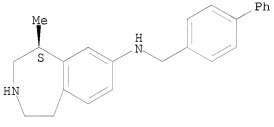
Absolute stereochemistry.



● HCl

RN 851477-58-2 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

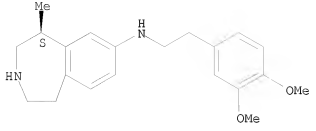
Absolute stereochemistry.



● HCl

RN 851477-59-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

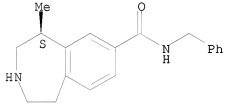
Absolute stereochemistry.



● HCl

RN 851477-60-6 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

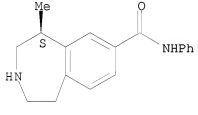
Absolute stereochemistry.



● HCl

RN 851477-63-9 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

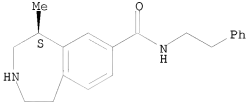


● HCl

RN 851477-64-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

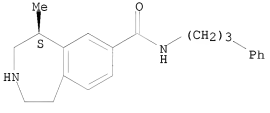
Absolute stereochemistry.



● HCl

RN 851477-65-1 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

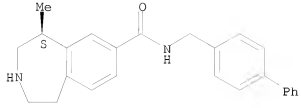
Absolute stereochemistry.



● HCl

RN 851477-66-2 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

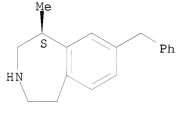
Absolute stereochemistry.



● HCl

RN 851477-67-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

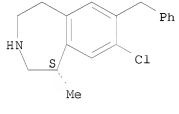
Absolute stereochemistry.



● HCl

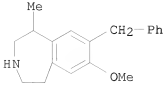
RN 851477-70-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



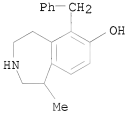
● HCl

RN 851477-73-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-74-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



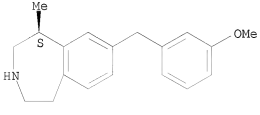
● HCl

RN 851477-79-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-78-6
CMF C19 H23 N O

Absolute stereochemistry.



CM 2

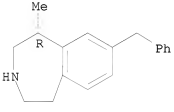
CRN 76-05-1
CMF C2 H F3 O2

RN 851477-81-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, (1R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-80-0
CMF C18 H21 N

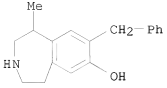
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

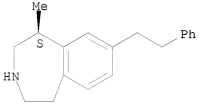
RN 851477-84-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-87-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



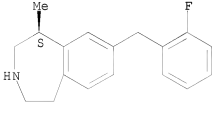
● HCl

RN 851477-90-2 CAPLUS
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-89-9
CMF C18 H20 F N

Absolute stereochemistry.



CM 2

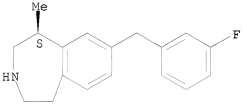
CRN 76-05-1
CMF C2 H F3 O2

RN 851477-92-4 CAPLUS
CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-91-3
CMF C18 H20 F N

Absolute stereochemistry.



CM 2

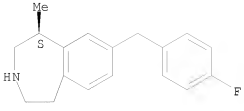
CRN 76-05-1
CMF C2 H F3 O2

RN 851477-96-8 CAPLUS
CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-95-7
CMF C18 H20 F N

Absolute stereochemistry.



CM 2

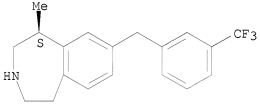
CRN 76-05-1
CMF C2 H F3 O2

RN 851477-99-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-98-0
CMF C19 H20 F3 N

Absolute stereochemistry.



CM 2

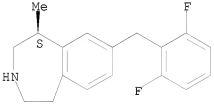
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-02-9 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-01-8
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

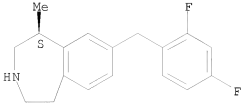
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-05-2 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-04-1
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

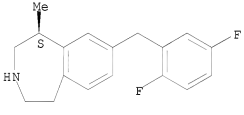
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-07-4 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-06-3
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

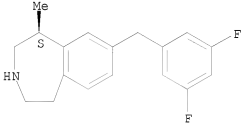
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-09-6 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-08-5
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

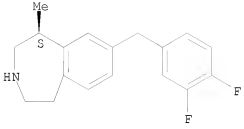
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-12-1 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-11-0
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

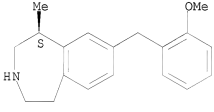
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-14-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-13-2
CMF C19 H23 N O

Absolute stereochemistry.



CM 2

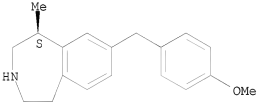
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-16-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-15-4
CMF C19 H23 N O

Absolute stereochemistry.



CM 2

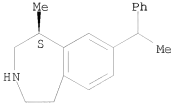
CRN 76-05-1
CMF C2 H F3 O2

RN 851478-18-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-17-6
CMF C19 H23 N

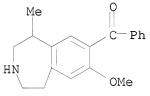
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

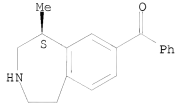
RN 851478-19-8 CAPLUS
CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851478-22-3 CAPLUS
CN Methanone, phenyl[(5S)-2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl]-, hydrochloride (1:1) (CA INDEX NAME)

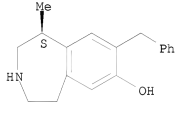
Absolute stereochemistry.



● HCl

RN 851478-24-5 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

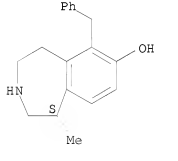
Absolute stereochemistry.



● HCl

RN 851478-29-0 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

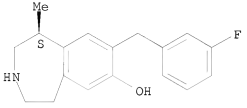
Absolute stereochemistry.



● HCl

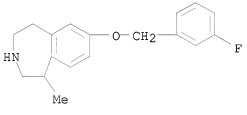
RN 851478-32-5 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

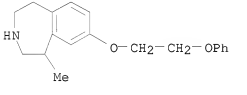


● HCl

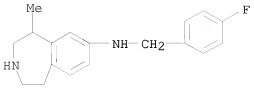
RN 851478-36-9 CAPLUS
CN 1H-3-Benzazepine, 7-[(3-fluorophenyl)methoxy]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



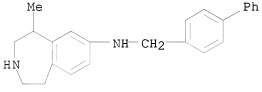
RN 851478-38-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenoxyethoxy)- (CA INDEX NAME)



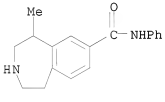
RN 851478-39-2 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



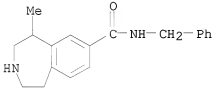
RN 851478-40-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



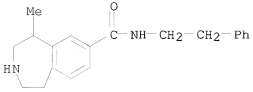
RN 851478-41-6 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX NAME)



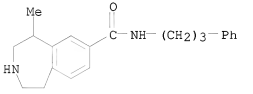
RN 851478-42-7 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)- (CA INDEX NAME)



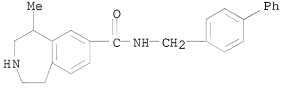
RN 851478-43-8 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



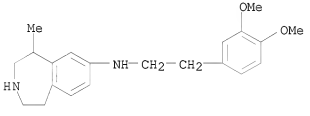
RN 851478-44-9 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



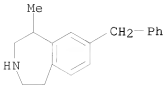
RN 851478-45-0 CAPLUS
CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



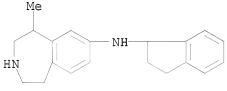
RN 851478-46-1 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



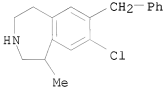
RN 851478-47-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



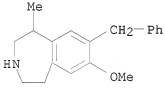
RN 851478-48-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



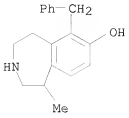
RN 851478-49-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)- (CA INDEX NAME)



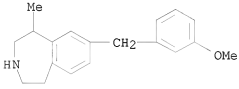
RN 851478-50-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



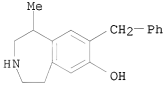
RN 851478-51-8 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)- (CA INDEX NAME)



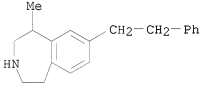
RN 851478-52-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl- (CA INDEX NAME)



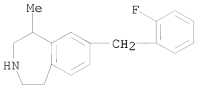
RN 851478-53-0 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



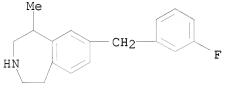
RN 851478-54-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)- (CA INDEX NAME)



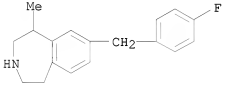
RN 851478-55-2 CAPLUS
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



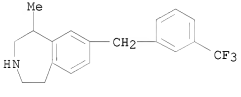
RN 851478-56-3 CAPLUS
CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



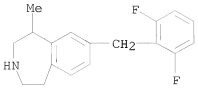
RN 851478-57-4 CAPLUS
CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



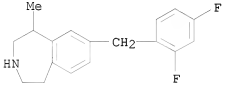
RN 851478-58-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



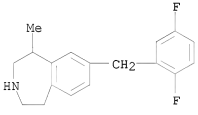
RN 851478-59-6 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



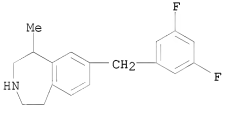
RN 851478-60-9 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



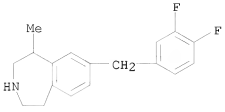
RN 851478-61-0 CAPLUS
CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



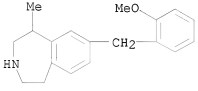
RN 851478-62-1 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



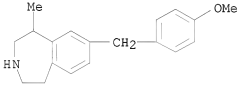
RN 851478-63-2 CAPLUS
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



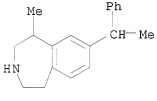
RN 851478-64-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl- (CA INDEX NAME)



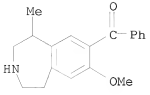
RN 851478-65-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl- (CA INDEX NAME)



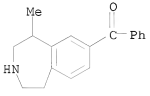
RN 851478-66-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)- (CA INDEX NAME)



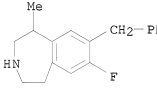
RN 851478-67-6 CAPLUS
CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



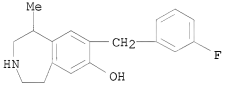
RN 851478-68-7 CAPLUS
CN Methanone, phenyl(2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



RN 851478-69-8 CAPLUS
CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)

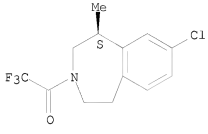


RN 851478-70-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616202-78-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)
RN 616202-78-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

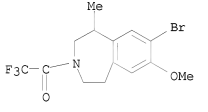
Absolute stereochemistry.



IT 616202-12-1, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2, (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-86-6, N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-23-4, N-Boc-8-benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine 851478-26-7, (S)-N-(Trifluoroethanoyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-30-3, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-34-7, (S)-N-(Trifluoroethanoyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

RN 616202-12-1 CAPLUS

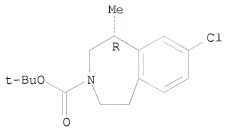
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



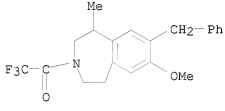
RN 851477-82-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

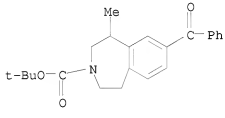
Absolute stereochemistry.



RN 851477-86-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

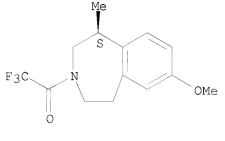


RN 851478-23-4 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



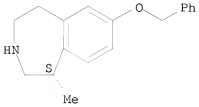
RN 851478-26-7 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



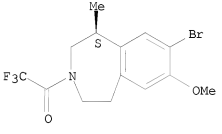
RN 851478-30-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 851478-34-7 CAPLUS
CN Ethanone, 1-[(1S)-8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-
benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

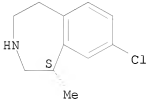


IT 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-
benzazepine 851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-
methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-61-7P,
(S)-8-(Furan-2-yl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic
acid tert-butyl ester 851477-62-8P, (S)-5-Methyl-1,2,4,5-
tetrahydrobenzo[d]azepine-3,7-dicarboxylic acid 3-tert-butyl ester
851477-68-4P, (S)-8-(N-Methoxy-N-methylcarbamoyl)-1-methyl-1,2,4,5-
tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester
851477-69-5P, (S)-8-Benzoyl-1-methyl-1,2,4,5-
tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester
851477-71-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-7-iodo-1-methyl-
2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-72-0P,
(S)-N-(Trifluoroethanoyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine 851477-77-5P, 7-Benzyloxy-1-methyl-2,3,4,5-
tetrahydro-1H-benzo[d]azepine hydrochloride 851477-83-3P,
N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-
benzo[d]azepine hydrochloride 851477-85-5P, N-(Trifluoroacetyl)-
8-benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
851477-88-8P, (S)-N-tert-Butoxycarbonyl-1-methyl-8-styryl-1,2,4,5-
tetrahydro-3H-benzo[d]azepine 851478-20-1P, N-(Trifluoroacetyl)-
7-methoxy-1-methyl-8-(1-phenylvinyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine
851478-21-2P, [N-(Trifluoroacetyl)-8-methoxy-5-methyl-2,3,4,5-
tetrahydro-1H-benzo[d]azepin-7-yl]phenylmethanone 851478-25-6P,
(S)-N-(Trifluoroethanoyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-

ol 851478-27-8P, (S)-N-(Trifluoroethanoyl)-7-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-28-9P, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851478-33-6P, (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-35-8P, (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity)

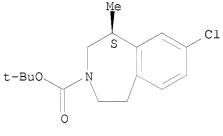
RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



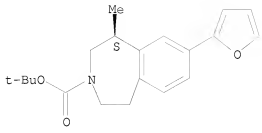
RN 851477-54-8 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



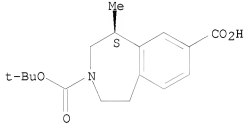
RN 851477-61-7 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-(2-furanyl)-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



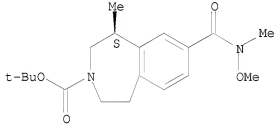
RN 851477-62-8 CAPLUS
CN 3H-3-Benzazepine-3,7-dicarboxylic acid, 1,2,4,5-tetrahydro-5-methyl-, 3-(1,1-dimethylethyl) ester, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



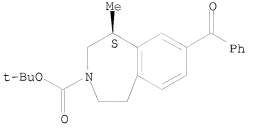
RN 851477-68-4 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-[(methoxymethylamino)carbonyl]-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



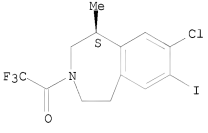
RN 851477-69-5 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



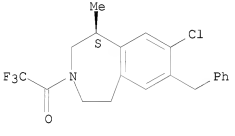
RN 851477-71-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-7-iodo-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

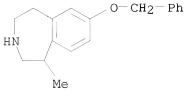


RN 851477-72-0 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

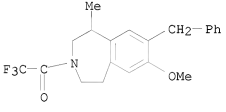


RN 851477-77-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



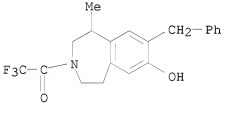
● HCl

RN 851477-83-3 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)



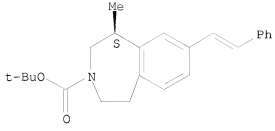
● HCl

RN 851477-85-5 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

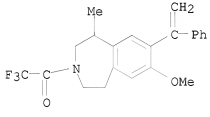


RN 851477-88-8 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-phenylethenyl)-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

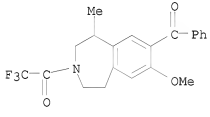
Absolute stereochemistry.
Double bond geometry unknown.



RN 851478-20-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1-phenylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

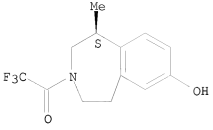


RN 851478-21-2 CAPLUS
CN Ethanone, 1-(8-benzoyl-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



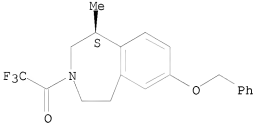
RN 851478-25-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



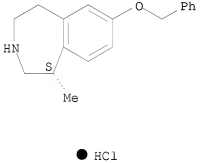
RN 851478-27-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



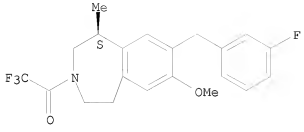
RN 851478-28-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



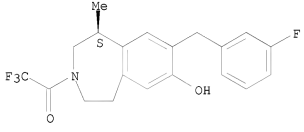
RN 851478-33-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 851478-35-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

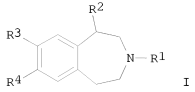


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:409482 CAPLUS
DOCUMENT NUMBER: 142:463621
TITLE: Benzazepine derivatives, their preparation and use for prophylaxis or treatment of 5HT2C receptor-associated diseases
INVENTOR(S): Smith, Brian; Schultz, Jeffrey; Gilson, Charles, III; Estrada, Scott
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042490	A1	20050512	WO 2004-US34914	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070275949	A1	20071129	US 2006-573196	20060420
PRIORITY APPLN. INFO.:			US 2003-513894P P	20031022
			WO 2004-US34914 W	20041021

OTHER SOURCE(S): CASREACT 142:463621; MARPAT 142:463621
GI



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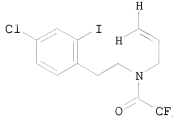

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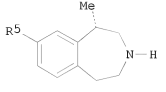


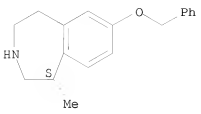
III

AB The invention relates to substituted 2,3,4,5-tetrahydro-3-benzazepine derivs. I, that are modulators of the 5HT2C receptor. In compds. I, R1 is H or C1-8 alkyl; R2 is C1-8 alkyl; R3 is H, aryl, arylalkyloxy, arylalkylamino, arylamino, or heteroaryl, where the N is optionally substituted and where the aryl is optionally substituted with up to two substituents selected from C1-8 alkyl, halo, perhaloalkyl, and alkoxy; R4 is H, arylalkyloxy, alkoxy, or aryloxy; provided that at least one of R3 and R4 is other than H, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of disorders involving 5HT2C receptors. N-Protection of 4-chlorophenethylamine as the trifluoroacetamide followed by iodination and N-allylation resulted in the formation of II. II underwent intramol. Heck reaction followed by hydrogenation, separation of enantiomers, and deprotection to give III [R5 = Cl; (S)-enantiomer shown], which, upon N-Boc-protection, substitution with benzylamine, and deprotection, produced III (R5 = NHCH2Ph) as the hydrochloride. Several compds. were tested for 5HT2C agonist activity, with 12 of those having IC50 values between 1 nM and 1.3 μM and several others below 10 μM. Some compds. of the invention have 3-10 times greater 5HT2C agonist activity than 5HT2B agonist activity.

IT 851478-28-9P, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-34-8P 851544-39-3P 851544-41-7P 851544-44-0P 851544-47-3P 851544-49-5P 851544-51-9P 851544-53-1P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-60-0P, (S)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-64-4P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-66-6P, 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-67-7P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-68-8P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-73-5P, (R)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-75-7P,

7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-77-9P, (S)-8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-78-0P , (S)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-79-1P, (S)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-80-4P , (S)-8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-81-5P, (R)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-82-6P, (R)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-83-7P, (R)-8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-84-8P, (S)-8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-85-9P , (R)-1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-86-0P, 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-89-3P, 7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-90-6P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-91-7P, 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-92-8P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-93-9P , Benzyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-94-0P, [5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](1'-phenylethyl)amine 851544-95-1P, N-Benzyl-N-methyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-96-2P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenethylamine 851544-97-3P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](3-phenylpropyl)amine 851544-98-4P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylamine 851544-99-5P, 1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-00-1P, 7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-01-2P, 8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-02-3P, 8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-03-4P, 8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-04-5P, 8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-05-6P, 8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-06-7P, 8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-07-8P, 1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-08-9P, 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
RN 851478-28-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

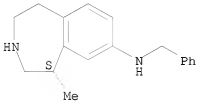
Absolute stereochemistry.



● HCl

RN 851544-34-8 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

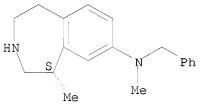
Absolute stereochemistry.



● HCl

RN 851544-39-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

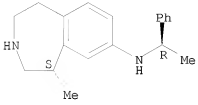
Absolute stereochemistry.



● HCl

RN 851544-41-7 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1R)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

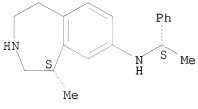
Absolute stereochemistry.



● HCl

RN 851544-44-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1S)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

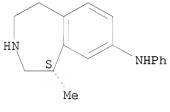
Absolute stereochemistry.



● HCl

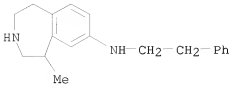
RN 851544-47-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

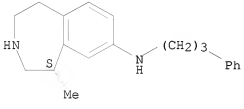
RN 851544-49-5 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

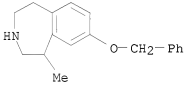
RN 851544-51-9 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

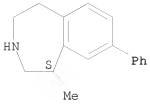
RN 851544-53-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

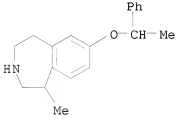
RN 851544-60-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



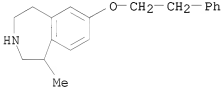
● HCl

RN 851544-64-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



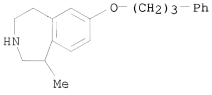
● HCl

RN 851544-66-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



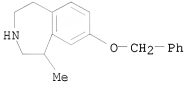
● HCl

RN 851544-67-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)-, hydrochloride (1:1) (CA INDEX NAME)



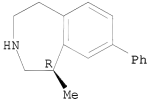
● HCl

RN 851544-68-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)- (CA INDEX NAME)



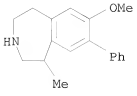
RN 851544-73-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

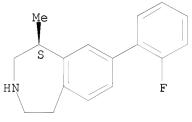
RN 851544-75-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851544-77-9 CAPLUS
CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

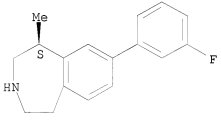
Absolute stereochemistry.



● HCl

RN 851544-78-0 CAPLUS
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

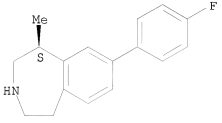


● HCl

RN 851544-79-1 CAPLUS
CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,

hydrochloride (1:1), (1S)- (CA INDEX NAME)

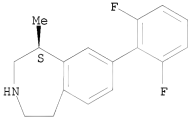
Absolute stereochemistry.



● HCl

RN 851544-80-4 CAPLUS
CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

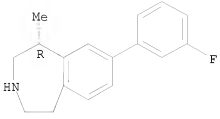
Absolute stereochemistry.



● HCl

RN 851544-81-5 CAPLUS
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

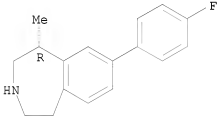
Absolute stereochemistry.



● HCl

RN 851544-82-6 CAPLUS
CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

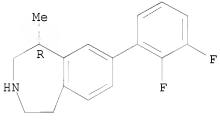
Absolute stereochemistry.



● HCl

RN 851544-83-7 CAPLUS
CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

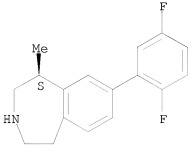
Absolute stereochemistry.



● HCl

RN 851544-84-8 CAPLUS
CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

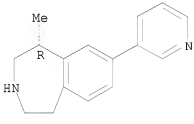
Absolute stereochemistry.



● HCl

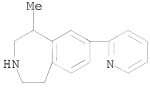
RN 851544-85-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)-, hydrochloride (1:?), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



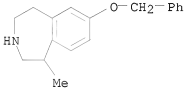
● x HCl

RN 851544-86-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

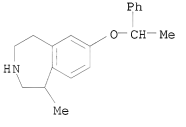


●x HCl

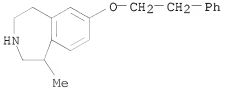
RN 851544-89-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)



RN 851544-90-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)- (CA INDEX NAME)

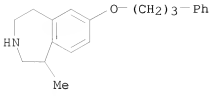


RN 851544-91-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)- (CA INDEX NAME)

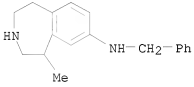


RN 851544-92-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)- (CA

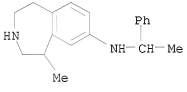
INDEX NAME)



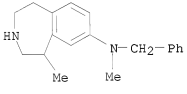
RN 851544-93-9 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-
(CA INDEX NAME)



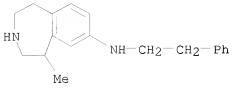
RN 851544-94-0 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(1-phenylethyl)-
(CA INDEX NAME)



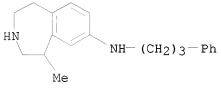
RN 851544-95-1 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-
(CA INDEX NAME)



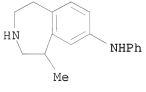
RN 851544-96-2 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-
(CA INDEX NAME)



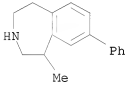
RN 851544-97-3 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



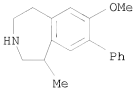
RN 851544-98-4 CAPLUS
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX
NAME)



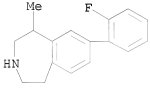
RN 851544-99-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl- (CA INDEX NAME)



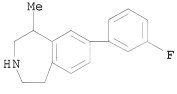
RN 851545-00-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl- (CA
INDEX NAME)



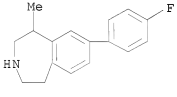
RN 851545-01-2 CAPLUS
CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



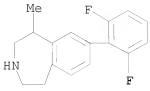
RN 851545-02-3 CAPLUS
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



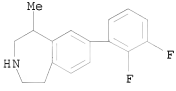
RN 851545-03-4 CAPLUS
CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



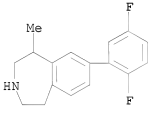
RN 851545-04-5 CAPLUS
CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



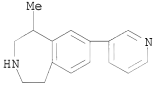
RN 851545-05-6 CAPLUS
CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



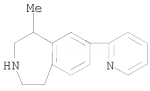
RN 851545-06-7 CAPLUS
CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851545-07-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)- (CA INDEX NAME)

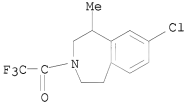


RN 851545-08-9 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)- (CA INDEX NAME)



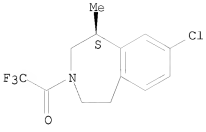
IT 616202-51-8P, N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-78-9P, (S)-N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-25-6P, (S)-N-Trifluoroacetyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-27-8P, (S)-N-Trifluoroacetyl-7-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-37-1P 851544-62-2P 851544-71-3P, N-tert-Butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851544-72-4P, N-tert-Butoxycarbonyl-8-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-74-6P, (R)-N-tert-Butoxycarbonyl-1-methyl-8-phenyl-1,2,4,5-tetrahydrobenzo[d]azepine 851544-76-8P, N-Trifluoroacetyl-7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-87-1P, Trifluoromethanesulfonic acid N-tert-butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-8-yl ester 851544-88-2P, N-tert-Butoxycarbonyl-1-methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)

RN 616202-51-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



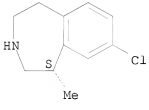
RN 616202-78-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



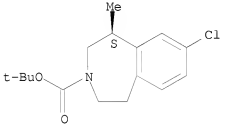
RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



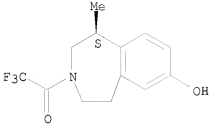
RN 851477-54-8 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



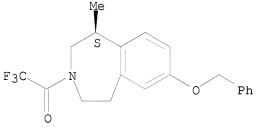
RN 851478-25-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



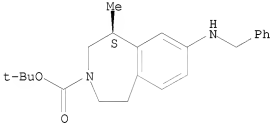
RN 851478-27-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



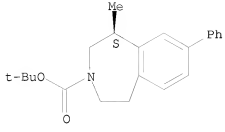
RN 851544-37-1 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-[(phenylmethyl)amino]-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

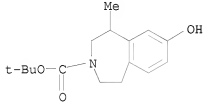


RN 851544-62-2 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

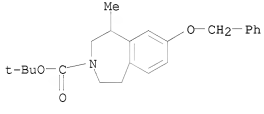
Absolute stereochemistry.



RN 851544-71-3 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-hydroxy-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

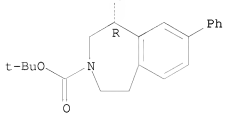


RN 851544-72-4 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

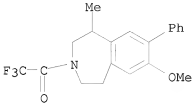


RN 851544-74-6 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

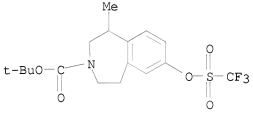
Absolute stereochemistry.



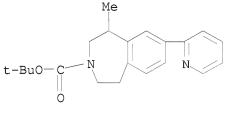
RN 851544-76-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



RN 851544-87-1 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-7-[[(trifluoromethyl)sulfonyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

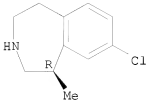


RN 851544-88-2 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

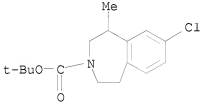


IT 616202-92-7 1019636-37-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

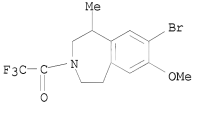
Absolute stereochemistry.



RN 1019636-37-3 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

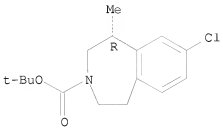


IT 616202-12-1, N-Trifluoroacetyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2, (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-26-7, (S)-N-Trifluoroacetyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
RN 616202-12-1 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



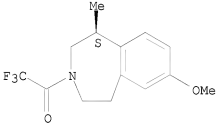
RN 851477-82-2 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 851478-26-7 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



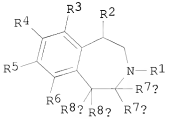
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182631 CAPLUS
DOCUMENT NUMBER: 142:280072
TITLE: Processes for preparing 3-benzazepines
INVENTOR(S): Burbaum, Beverly W.; Gilson, Charles A., III; Aytes, Shelley; Estrada, Scott A.; Sengupta, Dipanjan; Smith, Brian; Rey, Max; Weigl, Ulrich
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019179	A2	20050303	WO 2004-US19279	20040616
WO 2005019179	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004267016	A1	20050303	AU 2004-267016	20040616
CA 2529401	A1	20050303	CA 2004-2529401	20040616
EP 1636191	A2	20060322	EP 2004-801895	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1805939	A	20060719	CN 2004-80016780	20040616
BR 2004011613	A	20060808	BR 2004-11613	20040616
JP 2007521269	T	20070802	JP 2006-517336	20040616
MX 2005PA13364	A	20060317	MX 2005-PA13364	20051208
IN 2006KN00112	A	20070316	IN 2006-KN112	20060113
US 20080045502	A1	20080221	US 2007-560953	20070426

PRIORITY APPLN. INFO.: US 2003-479280P P 20030617
US 2003-512967P P 20031021
WO 2004-US19279 W 20040616

OTHER SOURCE(S): CASREACT 142:280072; MARPAT 142:280072
GI



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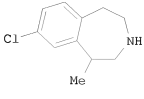


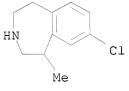
II

AB A process for the preparation of 3-benzazepines I [R1 = H; R2 = alkyl, alkoxy, carboxy, etc.; R3-6 = H, halo, alk(en/yn)yl, etc.; R7a-7b = H, halo, alk(en/yn)yl, etc.; R8a-8b = H, halo, alk(en/yn)yl, etc.] is disclosed. For instance, 2-(4-chlorophenyl)ethylamine is acylated with 2-chloropropionyl chloride (CH3CN, Et3N). The resulting amide is cyclized in the presence of a metal hydride, e.g., AlCl3 to the corresponding benzazepin-2-one. Reduction of this amide is accomplished with BH3 in THF to give II. Alternative, but similar procedures are provided and there are examples of resolution of the final product by formation of the L-tartaric acid salts. I are useful as serotonin (5-HT) receptor agonists [no data] for the treatment of, e.g., central nervous system disorders such as obesity.

IT 616201-80-0P, 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

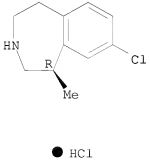


IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochlochloride
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

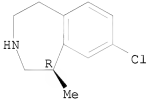


IT 847063-12-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for preparing 3-benzazepines as 5-HT receptor agonists)
RN 847063-12-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

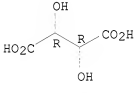
Absolute stereochemistry.



CM 2

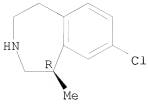
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for preparing 3-benzazepines as 5-HT receptor agonists)
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.


HN
R
Me
Cl

L19 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:29313 CAPLUS
DOCUMENT NUMBER: 142:134482
TITLE: A preparation of benzazepine derivatives, useful as 5HT2C receptor modulators
INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Smith, Jeffrey
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003096	A1	20050113	WO 2004-US19670	20040616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004253888	A1	20050113	AU 2004-253888	20040616
CA 2529320	A1	20050113	CA 2004-2529320	20040616
EP 1633720	A1	20060315	EP 2004-776811	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004011470	A	20060711	BR 2004-11470	20040616
CN 1805938	A	20060719	CN 2004-80016773	20040616
JP 2007516941	T	20070628	JP 2006-517455	20040616
MX 2005PA13366	A	20060405	MX 2005-PA13366	20051208
US 20070142357	A1	20070621	US 2005-561071	20051216
IN 2006KN00117	A	20070622	IN 2006-KN117	20060113
PRIORITY APPLN. INFO.:			US 2003-479280P P	20030617
			WO 2004-US19670 W	20040616

OTHER SOURCE(S): MARPAT 142:134482
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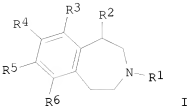

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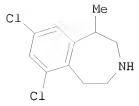

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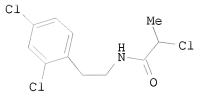


III

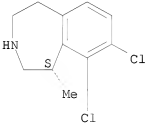
AB The invention relates to a preparation of benzazepine derivs. of formula I [wherein: R1 is H or alkyl; R2 is alkyl, CH2O-alkyl, haloalkyl, or CH2OH; R3, R4, R5, and R6 are independently selected from H, alkyl, amino, CN, or nitro, etc.], useful as 5HT2C receptor modulators. For instance, benzazepine derivative II (5HT2C, IP accumulation assay, IC50 = 11.7 nM) was prepared via heterocyclization of 2-chloropropionamide derivative III and subsequent reduction

IT 824430-72-0P 824430-76-4P 824430-80-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 824430-72-0 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

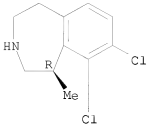
Absolute stereochemistry.



RN 824430-76-4 CAPLUS

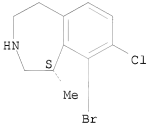
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

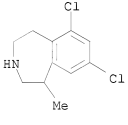


RN 824430-80-0 CAPLUS
CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)-
(CA INDEX NAME)

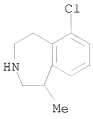
Absolute stereochemistry.



IT 824430-66-2P 824430-68-4P 824430-69-5P
824430-71-9P 824430-74-2P 824430-82-2P
824430-83-3P 824430-84-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
RN 824430-66-2 CAPLUS
CN 1H-3-Benzazepine, 6,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX
NAME)



RN 824430-68-4 CAPLUS
CN 1H-3-Benzazepine, 6-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



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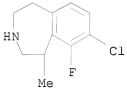
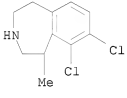
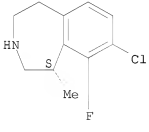


RN 824430-71-9 CAPLUS
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



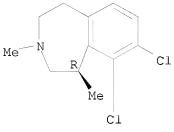
RN 824430-74-2 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



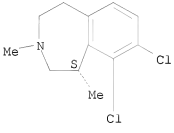
RN 824430-82-2 CAPLUS
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



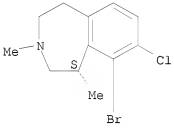
RN 824430-83-3 CAPLUS
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



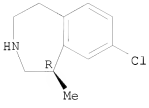
RN 824430-84-4 CAPLUS
CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.

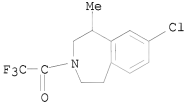


IT 616202-92-7P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

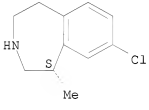


IT 616202-51-8 616202-81-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
RN 616202-51-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 616201-80-0P 616202-78-9P 616202-89-2P
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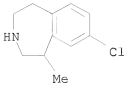
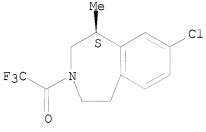
824430-78-6P 824430-81-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
RN 616201-80-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



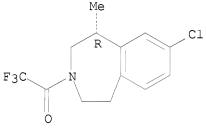
RN 616202-78-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

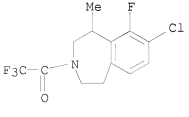


RN 616202-89-2 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

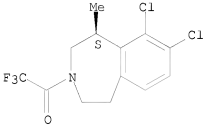


RN 824430-70-8 CAPLUS
CN Ethanone, 1-(8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



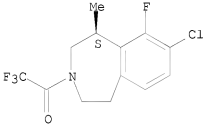
RN 824430-73-1 CAPLUS
CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 824430-75-3 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

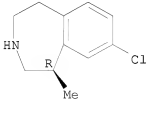


RN 824430-78-6 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 616202-92-7
CMF C11 H14 Cl N

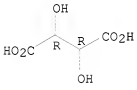
Absolute stereochemistry.



CM 2

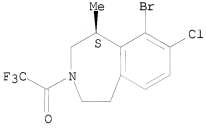
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 824430-81-1 CAPLUS
CN Ethanone, 1-[(1S)-9-bromo-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:836783 CAPLUS
DOCUMENT NUMBER: 139:337897
TITLE: Preparation of benzazepines as 5HT2C receptor modulators
INVENTOR(S): Smith, Jeffrey; Smith, Brian
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086306	A2	20031023	WO 2003-US11076	20030411
WO 2003086306	A3	20040219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030225057	A1	20031204	US 2003-410991	20030410
US 6953787	B2	20051011		
CA 2481723	A1	20031023	CA 2003-2481723	20030411
CA 2481723	C	20080219		
AU 2003221866	A1	20031027	AU 2003-221866	20030411
AU 2003221866	B2	20080710		
EP 1411881	A2	20040428	EP 2003-718323	20030411
EP 1411881	B1	20050504		
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BR 2003009303	A	20050426	BR 2003-9303	20030411
AT 294781	T	20050515	AT 2003-718323	20030411
CN 1646493	A	20050727	CN 2003-808272	20030411
EP 1557409	A1	20050727	EP 2005-2866	20030411
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JP 2005527579	T	20050915	JP 2003-583332	20030411
PT 1411881	T	20050930	PT 2003-718323	20030411
ES 2242165	T3	20051101	ES 2003-718323	20030411
TW 252105	B	20060401	TW 2003-92108353	20030411
NZ 535381	A	20060728	NZ 2003-535381	20030411
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US 20050020573	A1	20050127	US 2004-917979	20040813
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IN 2004KN01415	A	20060512	IN 2004-KN1415	20040923
MX 2004PA09965	A	20050930	MX 2004-PA9965	20041011
KR 812925	B1	20080311	KR 2004-716198	20041011
ZA 2004008506	A	20060628	ZA 2004-8506	20041020
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JP	2006143751	A	20060608	JP 2006-58747	20060303
US	20070060568	A1	20070315	US 2006-599050	20061114
IN	2007KN02412	A	20070824	IN 2007-KN2412	20070629
KR	2008009340	A	20080128	KR 2008-700551	20080109

PRIORITY APPLN. INFO.:

US 2002-372058P	P	20020412
US 2002-405495P	P	20020823
US 2002-434607P	P	20021218
US 2003-410991	A	20030410
EP 2003-718323	A3	20030411
JP 2003-583332	A3	20030411
WO 2003-US11076	W	20030411
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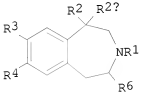
IN 2004-KN1415	A3	20040923
KR 2004-716198	A3	20041011

OTHER SOURCE(S): MARPAT 139:337897

GI

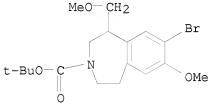


I

AB The present invention relates to novel compds. I [R1 = H, C1-8-alkyl; R2 = C1-8-alkyl, CH2O-(C1-8-alkyl), C(:O)O-(C1-8-alkyl), C(:O)NH(C1-8-alkyl), OH, CH2OH; R2a = H; R2R2a = CH2CH2; R3, R4 = H, halo, perhaloalkyl, CN, OR5, SR5, NHR5, N(R5)2, OH, (un)substituted aryl (up to 2 substituents selected from C1-8-alkyl, halo, perhaloalkyl, alkoxy), (un)substituted heteroaryl (up to 2 substituents selected from C1-8-alkyl, halo); R3C:CR4 = 5- or 6-membered O-containing heterocycle; R5 = C1-8-alkyl,C1-8-alkyl, aryl, heteroaryl, arylalkyl, heteroa; rylalkyl, perhaloalkyl, allyl; R6 = H, C1-8-alkyl; provided that: (A) if R1 = R3 = H and R2 = Me, then R4 ≠ thiazole; (B) if R6 ≠ H, then R3, R4 ≠ H; (C) if R1 = R2 = Me and R4 = H, then R3 ≠ NHR5, N(R5)2; (D) if R1 = R2 = Me and R4 = H, R3 ≠ imidazole; (E) if R1 = Me and R3 = OH, then R2 ≠ cyclopentyl, CH2-cyclohexyl, cyclopropylmethyl, cyclohexyl], or their pharmaceutically acceptable salts, solvates or hydrates, which act as 5HT2C receptor modulators. Thus, I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe) was prepared from 3-MeOC6H4CH2CH2NH2, via N-trifluoroacetylation, regioselective iodination, N-allylation, palladium-catalyzed cyclization, hydrogenation, regioselective bromination and deacetylation. These compds. are useful in pharmaceutical comps. whose use includes the treatment of obesity. Intracellular IP3 accumulation assay (IC50 = 4.2 nM) and inhibition of food intake in food-deprived rats (see charts) were used to test the bioactivity of I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe).

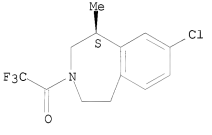
IT 616202-65-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-deprotection of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-65-4 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



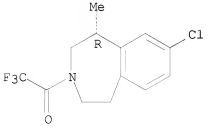
IT 616202-78-9P 616202-89-2P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-methylation or deacetylation of; preparation of benzazepines
as 5HT2C receptor modulators)
RN 616202-78-9 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

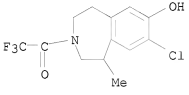


RN 616202-89-2 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

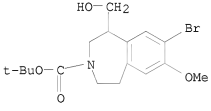
Absolute stereochemistry.



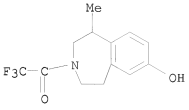
IT 616202-23-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-allylation of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-23-4 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



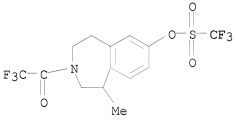
IT 616202-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-methylation of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-64-3 CAPLUS
CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-triflation of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-52-9 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

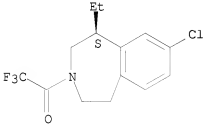


IT 616202-53-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-53-0 CAPLUS
CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



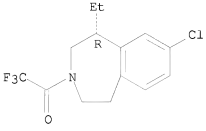
IT 616202-80-3P 616202-91-6P 616202-94-9P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-80-3 CAPLUS
CN Ethanone, 1-[(1S)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



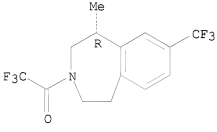
RN 616202-91-6 CAPLUS
CN Ethanone, 1-[(1R)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

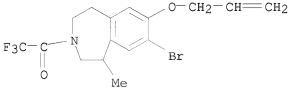


RN 616202-94-9 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

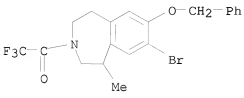


IT 616202-16-5P 616202-17-6P 616202-18-7P
616202-19-8P 616202-24-5P 616202-25-6P
616202-26-7P 616202-28-9P 616202-30-3P
616202-34-7P 616202-35-8P 616202-41-6P
616202-55-2P 616202-56-3P 616202-57-4P
616202-61-0P 616202-62-1P 616202-63-2P
616202-68-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of; preparation of benzazepines as 5HT2C receptor
modulators)
RN 616202-16-5 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

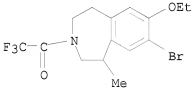


RN 616202-17-6 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-

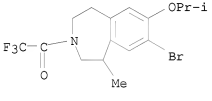
benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



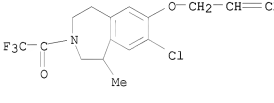
RN 616202-18-7 CAPLUS
CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



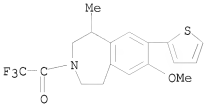
RN 616202-19-8 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



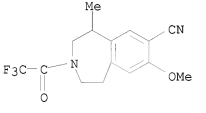
RN 616202-24-5 CAPLUS
CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



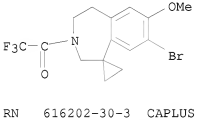
RN 616202-25-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



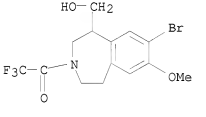
RN 616202-26-7 CAPLUS
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



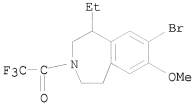
RN 616202-28-9 CAPLUS
CN Ethanone, 1-(8-bromo-2,3,4,5-tetrahydro-7-methoxyspiro[1H-3-benzazepine-1,1'-cyclopropan]-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



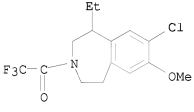
RN 616202-30-3 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



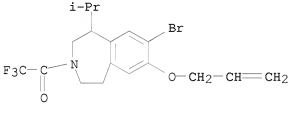
RN 616202-34-7 CAPLUS
CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



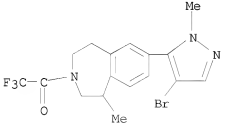
RN 616202-35-8 CAPLUS
CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



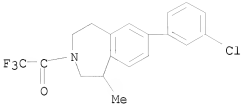
RN 616202-41-6 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



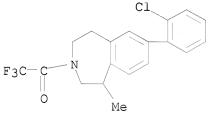
RN 616202-55-2 CAPLUS
CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



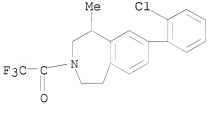
RN 616202-56-3 CAPLUS
CN Ethanone, 1-[7-(3-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



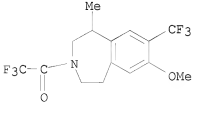
RN 616202-57-4 CAPLUS
CN Ethanone, 1-[7-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-61-0 CAPLUS
CN Ethanone, 1-[8-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

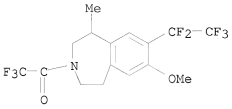


RN 616202-62-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

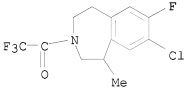


RN 616202-63-2 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-

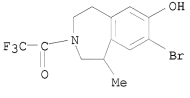
(1,1,2,2,2-pentafluoroethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



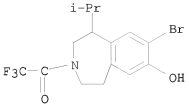
RN 616202-68-7 CAPLUS
CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



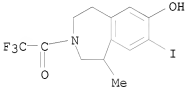
IT 616202-15-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or -O-alkylation of; preparation of benzazepines
as 5HT2C receptor modulators)
RN 616202-15-4 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



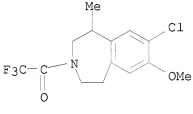
IT 616202-40-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or O-alkylation of; preparation of benzazepines as
5HT2C receptor modulators)
RN 616202-40-5 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



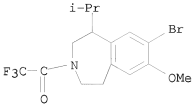
IT 616202-20-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or O-allylation of; preparation of benzazepines as
5HT2C receptor modulators)
RN 616202-20-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



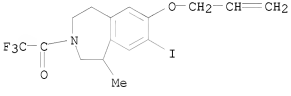
IT 616202-13-2P 616202-39-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or O-demethylation of; preparation of benzazepines
as 5HT2C receptor modulators)
RN 616202-13-2 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



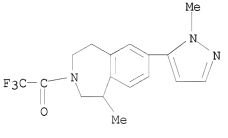
RN 616202-39-2 CAPLUS
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or palladium-catalyzed cyclization of; preparation
of benzazepines as 5HT2C receptor modulators)
RN 616202-21-2 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

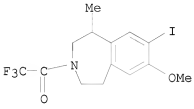


IT 616202-54-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation or regioselective bromination of; preparation of
benzazepines as 5HT2C receptor modulators)
RN 616202-54-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

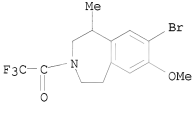


IT 616202-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation, O-demethylation or coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-14-3 CAPLUS

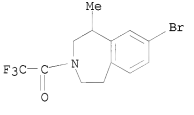
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



IT 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation, O-demethylation, cyanation or coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-12-1 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

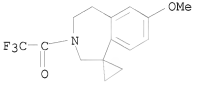


IT 616202-60-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and palladium-catalyzed coupling reactions of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-60-9 CAPLUS
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

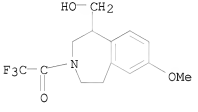


IT 616202-27-8P 616202-29-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regioselective bromination of; preparation of benzazepines as

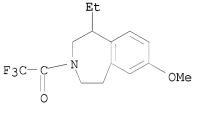
5HT2C receptor modulators)
RN 616202-27-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(2,3,4,5-tetrahydro-7-methoxyspiro[1H-3-benzazepine-1,1'-cyclopropan]-3-yl)- (CA INDEX NAME)



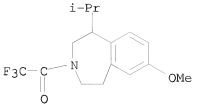
RN 616202-29-0 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



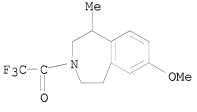
IT 616202-33-6P 616202-38-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regioselective halogenation of; preparation of benzazepines as
5HT2C receptor modulators)
RN 616202-33-6 CAPLUS
CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-38-1 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

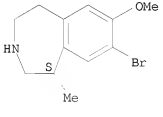


IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regioselective halogenation or O-demethylation of; preparation
of benzazepines as 5HT2C receptor modulators)
RN 616202-11-0 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



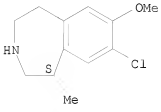
IT 616202-75-6P 616202-76-7P 616202-77-8P
616202-79-0P 616202-86-9P 616202-87-0P
616202-88-1P 616202-90-5P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-75-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



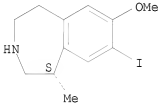
RN 616202-76-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



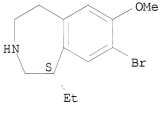
RN 616202-77-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



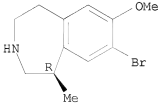
RN 616202-79-0 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 616202-86-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

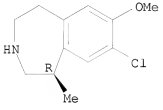
Absolute stereochemistry.



RN 616202-87-0 CAPLUS

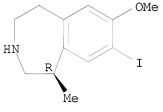
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



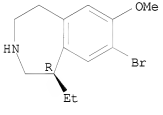
RN 616202-88-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 616202-90-5 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-
(CA INDEX NAME)

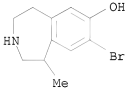
Absolute stereochemistry.



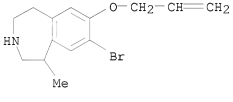
IT 616201-58-2P 616201-59-3P 616201-60-6P
616201-61-7P 616201-62-8P 616201-63-9P
616201-64-0P 616201-65-1P 616201-66-2P
616201-68-4P 616201-69-5P 616201-70-8P
616201-71-9P 616201-74-2P 616201-75-3P
616201-76-4P 616201-77-5P 616201-81-1P
616201-82-2P 616201-83-3P 616201-84-4P
616201-86-6P 616201-87-7P 616201-88-8P
616201-89-9P 616201-90-2P 616201-91-3P
616201-92-4P 616201-93-5P 616201-94-6P
616201-95-7P 616201-96-8P 616201-97-9P
616201-98-0P 616201-99-1P 616202-00-7P
616202-01-8P 616202-02-9P 616202-03-0P

616202-04-1P 616202-05-2P 616202-06-3P
616202-07-4P 616202-08-5P 616202-69-8P
616202-70-1P 616202-71-2P 616202-72-3P
616202-73-4P 616202-74-5P 616202-81-4P
616202-82-5P 616202-84-7P 616202-85-8P
616202-92-7P 616202-93-8P 616202-95-0P
616202-96-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepines as 5HT2C receptor modulators)

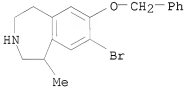
RN 616201-58-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



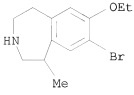
RN 616201-59-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)



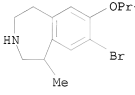
RN 616201-60-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA INDEX NAME)



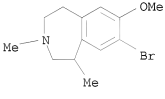
RN 616201-61-7 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



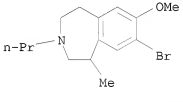
RN 616201-62-8 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)- (CA INDEX NAME)



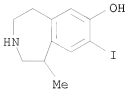
RN 616201-63-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA INDEX NAME)



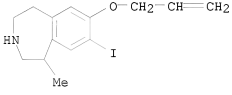
RN 616201-64-0 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl- (CA INDEX NAME)



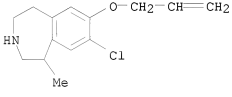
RN 616201-65-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



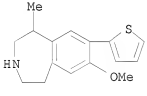
RN 616201-66-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-
(CA INDEX NAME)



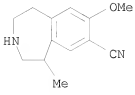
RN 616201-68-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-
yloxy)- (CA INDEX NAME)



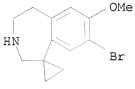
RN 616201-69-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-
(CA INDEX NAME)



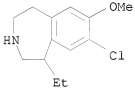
RN 616201-70-8 CAPLUS
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-
(CA INDEX NAME)



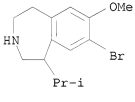
RN 616201-71-9 CAPLUS
CN Spiro[1H-3-benzazepine-1,1'-cyclopropane], 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



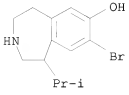
RN 616201-74-2 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



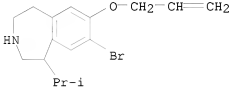
RN 616201-75-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)- (CA INDEX NAME)



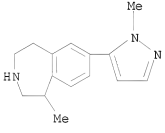
RN 616201-76-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)



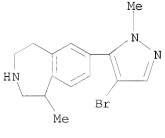
RN 616201-77-5 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)



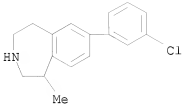
RN 616201-81-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



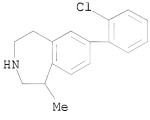
RN 616201-82-2 CAPLUS
CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



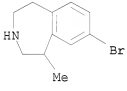
RN 616201-83-3 CAPLUS
CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



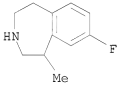
RN 616201-84-4 CAPLUS
CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



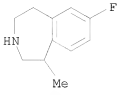
RN 616201-86-6 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



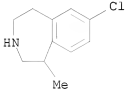
RN 616201-87-7 CAPLUS
CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



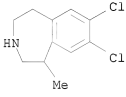
RN 616201-88-8 CAPLUS
CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



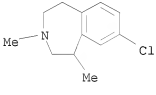
RN 616201-89-9 CAPLUS
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



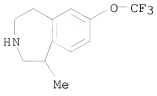
RN 616201-90-2 CAPLUS
CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



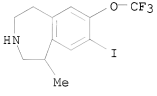
RN 616201-91-3 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



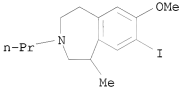
RN 616201-92-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



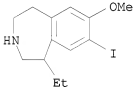
RN 616201-93-5 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-
(CA INDEX NAME)



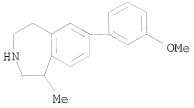
RN 616201-94-6 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-
(CA INDEX NAME)



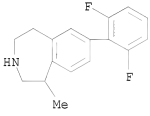
RN 616201-95-7 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX
NAME)



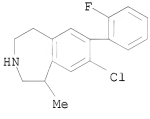
RN 616201-96-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA
INDEX NAME)



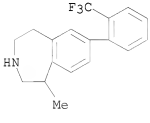
RN 616201-97-9 CAPLUS
CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-98-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

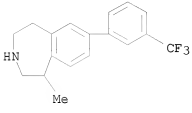


RN 616201-99-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

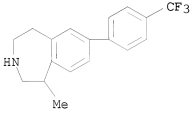


RN 616202-00-7 CAPLUS

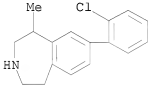
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



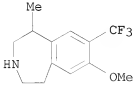
RN 616202-01-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 616202-02-9 CAPLUS
CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

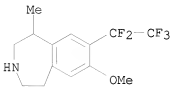


RN 616202-03-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

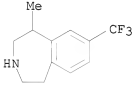


RN 616202-04-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-

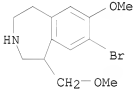
pentafluoroethyl)- (CA INDEX NAME)



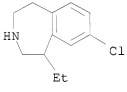
RN 616202-05-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



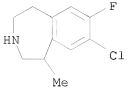
RN 616202-06-3 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)- (CA INDEX NAME)



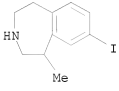
RN 616202-07-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



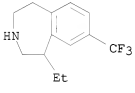
RN 616202-08-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



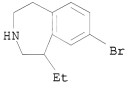
RN 616202-69-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



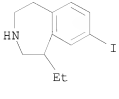
RN 616202-70-1 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)



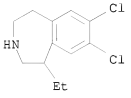
RN 616202-71-2 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



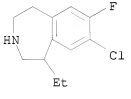
RN 616202-72-3 CAPLUS
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)



RN 616202-73-4 CAPLUS
CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)

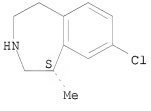


RN 616202-74-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)



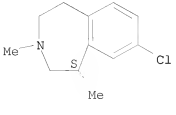
RN 616202-81-4 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



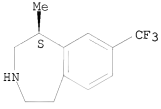
RN 616202-82-5 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



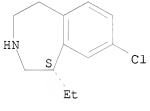
RN 616202-84-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



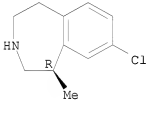
RN 616202-85-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



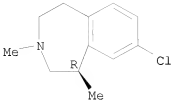
RN 616202-92-7 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



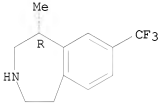
RN 616202-93-8 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



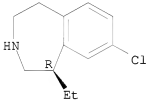
RN 616202-95-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)-
(CA INDEX NAME)

Absolute stereochemistry.



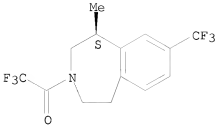
RN 616202-96-1 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX
NAME)

Absolute stereochemistry.

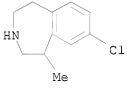


IT 616202-83-6P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-83-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-8-
(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

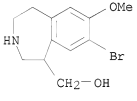
Absolute stereochemistry.



IT 616201-80-0P, (±)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, N-alkylation and 5HT2C receptor modulating activity of; preparation
of benzazepines as 5HT2C receptor modulators)
RN 616201-80-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

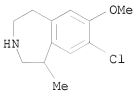


IT 616201-72-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, N-protection and 5HT2C receptor modulating activity of; preparation
of benzazepines as 5HT2C receptor modulators)
RN 616201-72-0 CAPLUS
CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

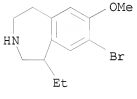


IT 616201-56-0P, (±)-8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

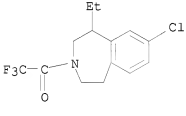
(Uses)
(preparation, enantiomer resolution and 5HT2C receptor modulating activity of;
preparation of benzazepines as 5HT2C receptor modulators)
RN 616201-56-0 CAPLUS
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



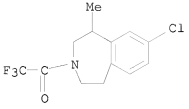
RN 616201-73-1 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



IT 616202-67-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, enantiomer resolution and deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-67-6 CAPLUS
CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

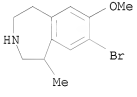


IT 616202-51-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, enantiomer resolution and deacetylation or regioselective fluorination of; preparation of benzazepines as 5HT2C receptor modulators)
RN 616202-51-8 CAPLUS
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

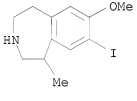


IT 616201-55-9P, (±)-8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P, (±)-8-Iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, reductive N-alkylation, enantiomer resolution and 5HT2C receptor
modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616201-55-9 CAPLUS
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)

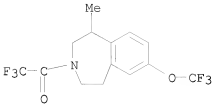


RN 616201-57-1 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)



IT 616202-59-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(regioselective iodination and N-deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-59-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)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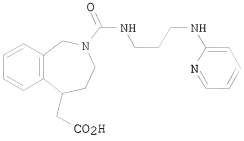
L19 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:247316 CAPLUS
DOCUMENT NUMBER: 134:280722
TITLE: Preparation of fused cycloheptane and fused azacycloheptane compounds for treating integrin receptors mediated diseases
INVENTOR(S): Tasker, Andrew; Rutledge, Melvin C.; Liu, Longbin; Han, Nianhe; Comingues, Celia; Grenazer-Laber, Ellen; Chen, Zhidon; Moreno, Ofir A.
PATENT ASSIGNEE(S): Amgen, Inc., USA
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023357	A2	20010405	WO 2000-US26537	20000927
WO 2001023357	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, BN, YU, ZA, AW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6514964	B1	20030204	US 2000-671025	20000926
CA 2386799	A1	20010405	CA 2000-2386799	20000927
CA 2386799	C	20070417		
AU 2000077220	A	20010430	AU 2000-77220	20000927
AU 769228	B2	20040122		
EP 1216230	A2	20020626	EP 2000-966950	20000927
EP 1216230	B1	20080423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2004502635	T	20040129	JP 2001-526511	20000927
AT 393147	T	20080515	AT 2000-966950	20000927
MX 2002PA03120	A	20020930	MX 2002-PA3120	20020325

PRIORITY APPLN. INFO.: US 1999-156174P P 19990927
US 2000-671025 A 20000926
WO 2000-US26537 W 20000927

OTHER SOURCE(S): MARPAT 134:280722
GI



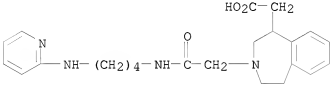
I

AB The title compds. EB(Alk)pQ(Alk)qAG [p, q = 0-1; Alk = alkyl; A, Q = a bond, S, O, etc.; B = a bond, O, aryl, etc.; E = H, alkyl, aryl, etc.; G = benzo[e]azepin-5-yl, benzo[d]imidazolo[1,2-a]azepin-5-yl, etc.] that are effective in the prophylaxis and treatment of diseases, such as integrin receptors mediated diseases, in particular, diseases or conditions mediated by integrin receptors, such as αvβ3, αvβ5, αvβ6 and the like, were prepared E.g., a multi-step synthesis of I which showed IC50 of ≤ 30 μM in the HUVEC proliferation assay and/or HUVEC adhesion assay was given.

IT 332879-23-9P 332879-25-1P 332879-26-2P
332879-27-3P 332879-29-5P 332879-64-8P
332879-65-9P 332879-66-0P 332880-12-3P
332880-14-5P 332880-16-7P 332880-18-9P
332880-21-4P 332880-32-7P 332880-34-9P
332880-36-1P 332880-51-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused cycloheptane and fused azacycloheptane compds. for treating integrin receptors mediated diseases)

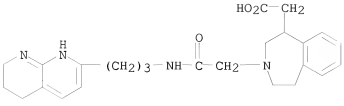
RN 332879-23-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]- (CA INDEX NAME)

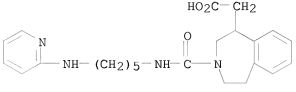


RN 332879-25-1 CAPLUS

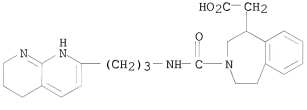
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]ethyl]- (CA INDEX NAME)



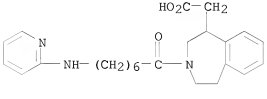
RN 332879-26-2 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]carbonyl]- (CA INDEX NAME)



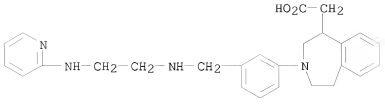
RN 332879-27-3 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



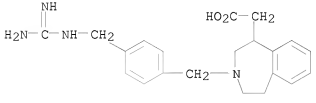
RN 332879-29-5 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]- (CA INDEX NAME)



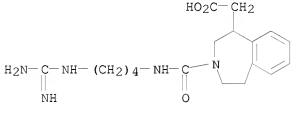
RN 332879-64-8 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[3-[[[2-(2-pyridinylamino)ethyl]amino]methyl]phenyl]- (CA INDEX NAME)



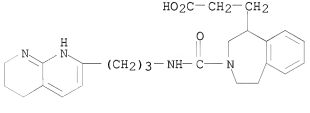
RN 332879-65-9 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



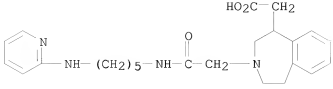
RN 332879-66-0 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



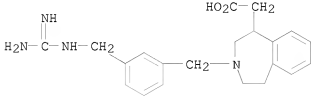
RN 332880-12-3 CAPLUS
CN 1H-3-Benzazepine-1-propanoic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



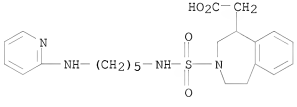
RN 332880-14-5 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (CA INDEX NAME)



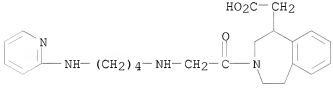
RN 332880-16-7 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[3-[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



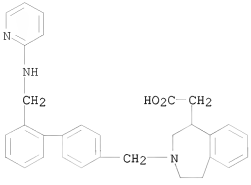
RN 332880-18-9 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]sulfonyl]- (CA INDEX NAME)



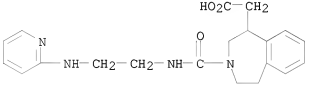
RN 332880-21-4 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-[[4-(2-pyridinylamino)butyl]amino]acetyl]- (CA INDEX NAME)



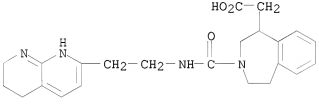
RN 332880-32-7 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2'-[(2-pyridinylamino)methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



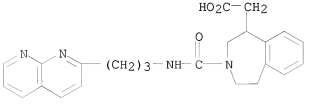
RN 332880-34-9 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



RN 332880-36-1 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethyl]amino]carbonyl]- (CA INDEX NAME)

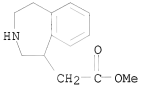


RN 332880-51-0 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)

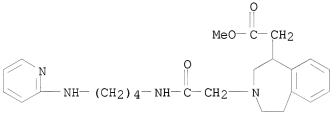


IT 332879-22-8P 332881-70-6P 332881-73-9P
332882-76-5P 332882-78-7P 332882-80-1P
332882-85-6P 332882-87-8P 332911-01-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused cycloheptane and fused azacycloheptane compds. for treating integrin receptors mediated diseases)

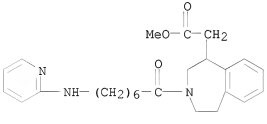
RN 332879-22-8 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



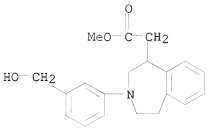
RN 332881-70-6 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, methyl ester (CA INDEX NAME)



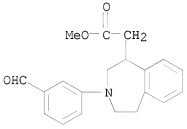
RN 332881-73-9 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]-, methyl ester (CA INDEX NAME)



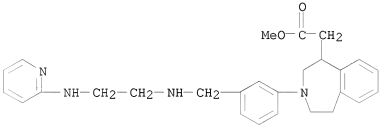
RN 332882-76-5 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[3-(hydroxymethyl)phenyl]-, methyl ester (CA INDEX NAME)



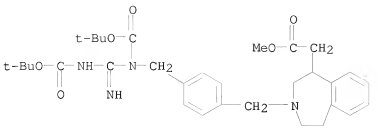
RN 332882-78-7 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-(3-formylphenyl)-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



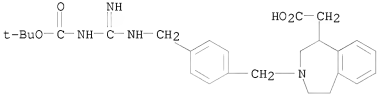
RN 332882-80-1 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[3-[[[2-(2-pyridinylamino)ethyl]amino]methyl]phenyl]-, methyl ester (CA INDEX NAME)



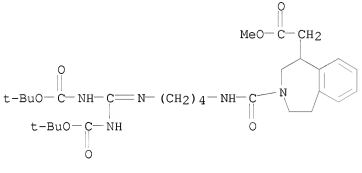
RN 332882-85-6 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(1,1-dimethylethoxy)carbonyl][[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



RN 332882-87-8 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 332911-01-0 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



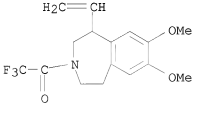
L19 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:199548 CAPLUS
DOCUMENT NUMBER: 133:58698
TITLE: Enantioselective synthesis of tetrahydroisoquinolines and benzazepines by silane terminated Heck reactions with the chiral ligands (+)-TMBTP and (R)-BITIANP
AUTHOR(S): Tietze, Lutz F.; Thede, Kai; Schimpf, Ralph; Sannicolo, Franco
CORPORATE SOURCE: Institut fur Organische Chemie der Universitat Gottingen, Gottingen, D-37077, Germany
SOURCE: Chemical Communications (Cambridge) (2000), (7), 583-584
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:58698
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The intramol. Heck reaction of the iodoaryl compound I (R = MeO, n = 1) with a (Z)-allylsilane moiety in the presence of the chiral ligand (+)-TMBTP [(+)-II] leads to the benzazepine III (R = H) with 92% ee, whereas I (R = MeO, n = 1) with an (E)-allylsilane moiety in the presence of the chiral ligand (R)-BITIANP [(R)-IV] gives III (R = SiMe3) with 91% ee; in a similar way, I (R = H, MeO; n = 0) were transformed in the presence of (+)-II into the tetrahydroisoquinolines V (R = H, MeO) with 86 and 84% ee, resp.

IT 154138-48-4P 157105-52-7P 157183-88-5P
278171-54-3P 278171-55-4P 278171-56-5P
278171-57-6P 278171-58-7P 278171-59-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of tetrahydroisoquinolines and -benzazepines by silane-terminated Heck reactions with chiral ligands)

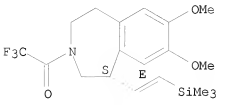
RN 154138-48-4 CAPLUS
CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 157105-52-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-1-[(1E)-2-(trimethylsilyl)ethenyl]-, (1S)- (9CI) (CA INDEX NAME)

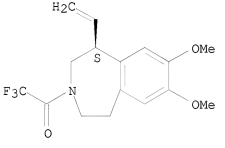
Absolute stereochemistry.

Double bond geometry as shown.



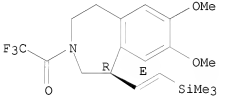
RN 157183-88-5 CAPLUS
CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



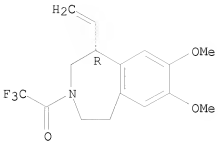
RN 278171-54-3 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



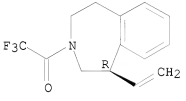
RN 278171-55-4 CAPLUS
CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



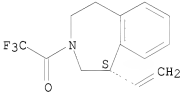
RN 278171-56-5 CAPLUS
CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



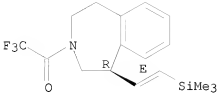
RN 278171-57-6 CAPLUS
CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



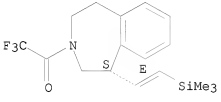
RN 278171-58-7 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 278171-59-8 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



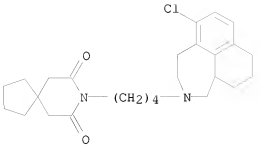
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:484676 CAPLUS
DOCUMENT NUMBER: 129:211234
ORIGINAL REFERENCE NO.: 129:42719a,42722a
TITLE: Interaction of the anxiogenic agent, RS-30199, with 5-HT1A receptors: modulation of sexual activity in the male rat
AUTHOR(S): Spedding, M.; Newman-Tancredi, A.; Millan, M. J.; Dacquet, C.; Michel, A. N.; Jacoby, E.; Vickery, B.; Tallentire, D.
CORPORATE SOURCE: Croissy Research Centre, Institut de Internationales, Servier, Paris, 78290, Fr.
SOURCE: Neuropharmacology (1998), 37(6), 769-780
CODEN: NEPHBW; ISSN: 0028-3908
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB RS-30199 has been shown previously to have atypical interactions at 5-HT1A receptors. RS-30199 and RS-64459, an analog of buspirone with a buspirone side chain, were compared with the classic, partial agonist at 5-HT1A receptors, 8-hydroxy-2 (di-n-propylamino) tetralin (8-OH-DPAT) and buspirone. At human (h) 5-HT1A receptors in CHO cells, RS-30199-193 (racemate) and its enantiomers (-197, -198) inhibited [3H]-8-OH-DPAT binding (RS-30199-198, ki, 29.7 ± 11.7 nM; RS-30199-197, ki, 74.1 ± 11.7 nM) as did RS-64459 (ki, 24.9 ± 6.0 nM), but RS-30199-197 and -198 were almost full agonists in a [35S]-GTPγS binding assay, whereas RS-64459 was a partial agonist, resembling buspirone and 8-OH-DPAT. RS-64459 and the enantiomers of RS-30199 had weaker affinity for 5-HT2C and 5-HT7 receptors. These compds. did not induce the 5-HT behavioral syndrome in male rats. However, in a model where naive male rats were introduced to estrogen-progesterone primed, sexually receptive female rats, RS-30199-197 (0.1, 1, 10 mg/kg, s.c.) had a profound inhibitory effect on sexual behavior score. Neither buspirone nor 8-OH-DPAT reduced the sexual behavior score. Unlike 8-OH-DPAT, which shortens intromission latency, RS-30199 prolonged intromission latency. RS-30199 (10 mg/kg s.c.) fully inhibited the facilitation of sexual behavior caused by the α2-adrenoceptor antagonist, delequamine (0.1 mg/kg, p.o.). In contrast, RS-64459 (100, 250, 1000 and 4000 μg/kg, s.c.) failed to modify the sexual behavior score and did not modify intromission latency. The differences between the effects of RS-30199 and RS-64459 in binding and functional expts. are supported by mol. models of the receptor-ligand interaction, where the compds. interact in different ways with the receptor; a model is proposed for the allosteric interaction of different agents with the receptor, resulting in different functional profiles. RS-30199 can be considered an atypical agonist at 5-HT1A receptors.

IT 123882-89-3, RS 64459
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(mol. modeling of interaction of anxiogenic agent, RS-30199, and RS-64459 with 5-HT1A receptors)

RN 123882-89-3 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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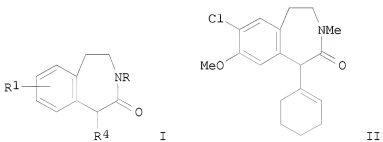
REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:447104 CAPLUS
DOCUMENT NUMBER: 125:142587
ORIGINAL REFERENCE NO.: 125:26689a,26692a
TITLE: Process for preparation of (alkenyl)benzazepinones
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.; Zhou, Guowei
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

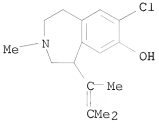
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5530125	A	19960625	US 1994-290894	19940819
US 5241065	A	19930831	US 1992-841603	19920225
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1992-841603 A2 19920225
WO 1993-US1425 W 19930223
OTHER SOURCE(S): CASREACT 125:142587; MARPAT 125:142587
GI



AB A process for the preparation of α-substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic organic solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compound of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The α-substituted arylacetamides are useful as intermediates in the preparation (by reduction) of α-substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave 7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

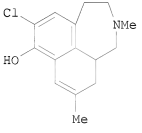
IT 179419-72-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of (alkenyl)benzazepinones via transition metal-catalyzed regioselective alkenylation of benzazepinones)
RN 179419-72-8 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propen-1-yl)-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



L19 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:305899 CAPLUS
DOCUMENT NUMBER: 122:213955
ORIGINAL REFERENCE NO.: 122:39111a,39114a
TITLE: Bridged benzazepines as selective D-1 receptor antagonists
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 587,894, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5374722	A	19941220	US 1993-27167	19930316
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1990-587894	B2 19900925
			WO 1991-US6705	W 19910920

OTHER SOURCE(S): MARPAT 122:213955
GI



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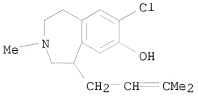
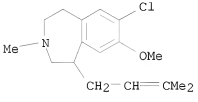
AB I is useful as an agent in the treatment of psychoses and drug dependence and for providing an analgesic effect. Minimal ED in rats in the conditioned avoidance response suppression test at 1 h. posttreatment after oral and 0.5 h. after s.c. administration by I derivs.: from 3 to >30 and 0.3 to >10, resp. Inhibition consts. Ki related to IC50 = concentration of test drug (I derivs.) necessary to displace 50% of specifically bound titrated compds. from D-1 and D-2 receptors were determined: from 1.1 to 2080 and from 147-42,800, resp. Thus, I derivs. bind strongly to the D-1 receptor site, and are not specifically bound to the D-2 site. Pharmaceutical formulations were given.

IT 118615-86-4P 143030-43-7P 143030-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bridged benzazepines as selective D-1 receptor antagonists)

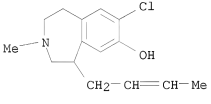
RN 118615-86-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



RN 143030-43-7 CAPLUS
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

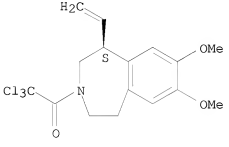


RN 143030-45-9 CAPLUS
CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

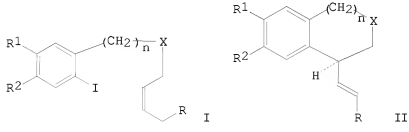


L19 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:288466 CAPLUS
DOCUMENT NUMBER: 122:68762
ORIGINAL REFERENCE NO.: 122:12899a,12902a
TITLE: (+)-N-Trichloroacetyl-7,8-dimethoxy-1-vinyl-2,3,4,5-tetrahydro-1H-3-benzazepine at 153 K
AUTHOR(S): Pohl, Ehmke; Herbst-Irmer, Regine; Schimpf, Ralph; tietze, Lutz F.
CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Goettingen, Goettingen, 37077, Germany
SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1994), C50(12), 1978-80
CODEN: ACSCEE; ISSN: 0108-2701
PUBLISHER: Munksgaard
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The crystal structure anal. of the title compound, C16H18Cl3NO3, was carried out at low temperature to determine the absolute configuration of the compound Crystallog.
data and atomic coordinates are given.
IT 157105-53-8
RL: PRP (Properties)
(crystal structure and absolute configuration at low temperature of)
RN 157105-53-8 CAPLUS
CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:533936 CAPLUS
DOCUMENT NUMBER: 121:133936
ORIGINAL REFERENCE NO.: 121:24212h,24213a
TITLE: Regio- and enantioselective silicon-terminated intramolecular Heck reactions
AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Goettingen, Goettingen, D-37077, Germany
SOURCE: Angewandte Chemie (1994), 106(10), 1138-9 (See also Angew. Chem., Int. Ed. Engl., 1994, 33(10), 1089-91)
CODEN: ANCEAD; ISSN: 0044-8249
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 121:133936
GI



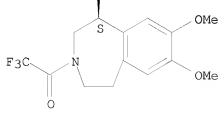
AB Palladium complex-catalyzed Heck reactions of I (R = H, SiMe3; X = NCOCF3, n = 1, R1 = R2 = H, n = 2, R1 = R2 = MeO; X = CH2, R1 = MeO, R2 = H) were compared. Thus, I (R = SiMe3) afforded cyclic compds. II (R = H or SiMe3), the ratio depending on the substrate and catalyst.

IT 157183-88-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 157183-88-5 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-, (1S)- (9CI) (CA INDEX NAME)

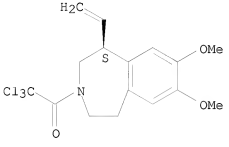
Absolute stereochemistry.



IT 157105-53-8P

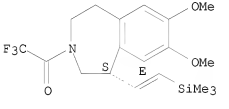
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and x-ray anal. of)
RN 157105-53-8 CAPLUS
CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

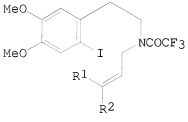


IT 157105-52-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 157105-52-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-1-[(1E)-2-(trimethylsilyl)ethenyl]-, (1S)- (9CI) (CA INDEX NAME)

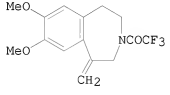
Absolute stereochemistry.
Double bond geometry as shown.



L19 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:244631 CAPLUS
DOCUMENT NUMBER: 120:244631
ORIGINAL REFERENCE NO.: 120:43353a,43356a
TITLE: Efficient synthesis of 2,3,4,5-tetrahydro-1H-3-benzazepines by intramolecular Heck reaction
AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph
CORPORATE SOURCE: Inst. Org. Chem., Univ. Goettingen, Goettingen, D-3400, Germany
SOURCE: Synthesis (1993), (9), 876-80
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:244631
GI



I



II

AB A new facile method for the preparation of the pharmacol. interesting 3-benzazepine skeleton is described. N-[(iodophenyl)ethyl]-N-allylamine easily available from iodinated benzene derivs. are alkylated with allyl halides to afford compds. N-[2-(2-iodophenyl)ethyl]-N-allylamines I (R1, R2 = H, Me). Pd-catalyzed Heck-type cyclization of I leads to 3-benzazepines such as II; hydrogenation of II gives the corresponding racemic alkyl-substituted benzazepine.

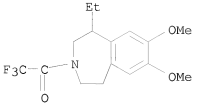
IT 154138-53-1P 154138-54-2P 154138-55-3P
154138-56-4P 154138-57-5P 154138-58-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 154138-53-1 CAPLUS

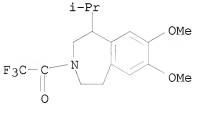
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7,8-dimethoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

RN 154138-54-2 CAPLUS

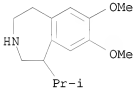
CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



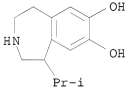
RN 154138-55-3 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



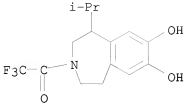
RN 154138-56-4 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)- (CA INDEX NAME)



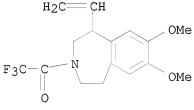
RN 154138-57-5 CAPLUS
CN 1H-3-Benzazepine-7,8-diol, 2,3,4,5-tetrahydro-1-(1-methylethyl)-, hydrobromide (1:1) (CA INDEX NAME)



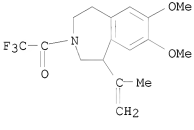
RN 154138-58-6 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dihydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 154138-48-4P 154138-51-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, Heck reaction of N-[(iodophenyl)ethyl]-N-allylamine)
RN 154138-48-4 CAPLUS
CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



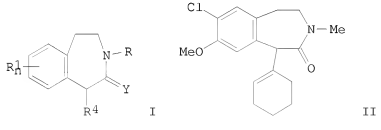
RN 154138-51-9 CAPLUS
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



L19 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:134315 CAPLUS
DOCUMENT NUMBER: 120:134315
ORIGINAL REFERENCE NO.: 120:23651a,23654a
TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines having antipsychotic activity
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.; Zhou, Guowei
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5241065	A	19930831	US 1992-841603	19920225
ZA 9301261	A	19930823	ZA 1993-1261	19930223
CA 2130797	A1	19930902	CA 1993-2130797	19930223
CA 2130797	C	20060704		
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337221	A	19930913	AU 1993-37221	19930223
EP 628030	A1	19941214	EP 1993-906034	19930223
EP 628030	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504196	T	19950511	JP 1993-514943	19930223
IL 104828	A	19990817	IL 1993-104828	19930223
AT 229510	T	20021215	AT 1993-906034	19930223
ES 2183810	T3	20030401	ES 1993-906034	19930223
US 5530125	A	19960625	US 1994-290894	19940819

PRIORITY APPLN. INFO.: US 1992-841603 A 19920225
WO 1993-US1425 W 19930223
OTHER SOURCE(S): CASREACT 120:134315; MARPAT 120:134315
GI



AB The title compds. I (R = alkyl, alkenyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl; R1 = alkenyl, alkoxy, HO, alkenyloxy, cycloalkyl, NO2,

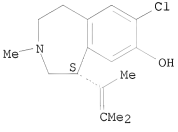
halogen, Ph, PhO; R4 = 1-cycloalkenyl; Y = O, H2), useful as antipsychotic agents, are prepared from aryl acetamides in the presence of a strong base followed by reaction with zero-valent transition metal catalysts and then with cycloalkenyl group R4X (X = leaving group). Thus, 7-chloro-8-methoxy-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one was reacted with Li diisopropylamide in the presence of Pd (PPH3)4 followed by addition of 1-cyclohexenyl triflate, producing II.

IT 152807-92-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antipsychotic activity of)

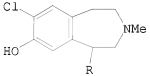
RN 152807-92-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propenyl)-2,3,4,5-tetrahydro-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

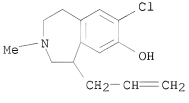


L19 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:539050 CAPLUS
DOCUMENT NUMBER: 119:139050
ORIGINAL REFERENCE NO.: 119:24931a,24934a
TITLE: Dopamine receptor binding properties of some 2,3,4,5-tetrahydro-1H-3-benzazepin-7-ols with nonaromatic substituents in the 5-position
AUTHOR(S): Chang, Wei K.; Peters, Marjorie; Fevig, Vicki P.; Kozlowski, Joseph A.; Zhou, Gouwei; Lowe, Derek B.; Guzik, Henry; McQuade, Robert D.; Duffy, Ruth; et al.
CORPORATE SOURCE: Schering-Plough Res. Inst., Bloomfield, NJ, 07003, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(5), 399-402
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:139050
GI

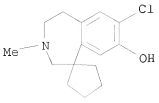


I

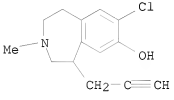
AB The title compds. I (R = H, Pr, EtS, cyclohexyl) related to the selective dopamine D-1 antagonist SCH 23390, but bearing non-aromatic substituents in the 5-position possess considerable affinity and selectivity for D-1 vs. D-2 receptors.
IT 118615-62-6P 118615-67-1P 118615-83-1P
149435-02-9P 149454-12-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and SAR of)
RN 118615-62-6 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)- (9CI) (CA INDEX NAME)



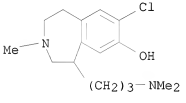
RN 118615-67-1 CAPLUS
CN Spiro[1H-3-benzazepine-1,1'-cyclopentan]-8-ol, 7-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



RN 118615-83-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propynyl)-(9CI) (CA INDEX NAME)

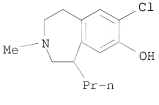


RN 149435-02-9 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

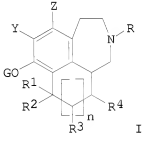
RN 149454-12-6 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-propyl- (CA INDEX NAME)



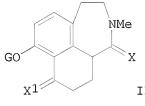
L19 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:571248 CAPLUS
DOCUMENT NUMBER: 117:171248
ORIGINAL REFERENCE NO.: 117:29605a,29608a
TITLE: Peri-condensed benzazepines
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185365	A	19920415	AU 1991-85365	19910920
EP 551312	A1	19930721	EP 1991-916793	19910920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05506246	T	19930916	JP 1991-515385	19910920
JP 06015530	B	19940302		
ZA 9107573	A	19920624	ZA 1991-7573	19910923
US 5374722	A	19941220	US 1993-27167	19930316
PRIORITY APPLN. INFO.:			US 1990-587894	A2 19900925
			WO 1991-US6705	A 19910920

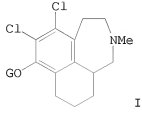
OTHER SOURCE(S): MARPAT 117:171248
GI



I



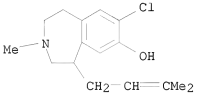
II



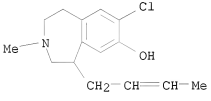
III

AB Compds. of formula I [R = H, alkyl, allyl, n = 0, 1; R1, R2 = H, OH, C1-4 alkyl or Ar; R3, R4 = H, C1-4 alkyl, G = H, R5R6NCO, ArNHCO (R5, R6 = H, C1-4 alkyl, aryl); Ar = Ph, substituted Ph; Y, Z = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 haloalkyl] were prepared for use as antipsychotics, in treatment of drug dependency, and as analgesics. Thus, hydrogenation of naphthazepinedione II (X = X1 = O; G = Me) over Pd-C gave monoketones II (X1 = H2) which was reduced by BH3-THF to give methoxy derivative II (X = X1 = H2; G = Me) followed by chlorination with SO2Cl2 to give dichloro derivative III (G = Me). Cleavage of III by 48% HBr gave phenol III (G = H), the most preferred compound

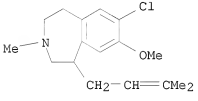
IT 118615-86-4P 143030-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization by methanesulfonic acid)
RN 118615-86-4 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



RN 143030-45-9 CAPLUS
CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



IT 143030-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 143030-43-7 CAPLUS
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



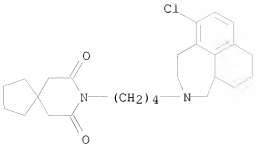
L19 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:52034 CAPLUS
DOCUMENT NUMBER: 116:52034
ORIGINAL REFERENCE NO.: 116:8851a,8854a
TITLE: The guinea pig ileum preparation as a model for 5-HT1A receptors: anomalous effects with RS-30199-193
AUTHOR(S): Small, Catherine; Brown, Christine M.; Redfern, William S.; Spedding, Michael
CORPORATE SOURCE: Syntex Res. Cent., Riccarton/Edinburgh, EH14 4AP, UK
SOURCE: British Journal of Pharmacology (1991), 104(2), 519-25
CODEN: BJPCBM; ISSN: 0007-1188
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Agents that have high and selective affinity for the 5-HT1A site such as 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) and N,N-dipropyl-5-carboxamidotryptamine (DP5CT) inhibited the responses to field stimulation in guinea pig ileum prepns.; the inhibitory effects were antagonized by methiothepin and spiperone, consistent with effects at the 5-HT1A site. The inhibitory effects of DP5CT were pronounced in Tyrode solution containing low Ca2+ (0.9 mM), but were much less apparent in Tyrode solution containing 1.8 or 5.4 mM Ca2+. Responses to DP5CT were abolished by pretreatment with phorbol dibutyrate (3 μM), whereas the responses to UK 14304 were only slightly inhibited. Buspirone and ipsapirone (1 μM) inhibited the responses to field stimulation, and the effects were resistant to idazoxan, but inhibited by 8-OH-DPAT or spiperone. RS 30199-193 (5-chloro-2-methyl-1,2,3,4,8,9,10,10a-octahydronaphth-[1,8-cd]-azepine-HCl) an azepine with high affinity for the 5-HT1A site in rat cerebral cortex in binding expts., augmented contractions but did not antagonize the responses to DP5CT or to 8-OH-DPAT. The hybrid compound of RS 30199-193 with buspirone, RS 64459-193 (5-chloro-2-[4-(8-azaspiro[4,5]decane-7,9-dione)-but-1-yl]-1,2,3,4,8,9,10,10a-octahydronaphth[1,8-cd]-3-azepine-HCl) maintained high affinity for the 5-HT1A binding site in rat brain and both inhibited the response to field stimulation and antagonized the responses to 8-OH-DPAT and DP5CT. Thus the buspirone side chains when added to RS 30199-193 appears either to induce affinity for a distinct subset of receptors in the guinea pig ileum or is required for functional effectiveness at the 5-HT1A receptor.

IT 123882-89-3, RS 64459-193
RL: BIOL (Biological study)
(intestine ileum contraction inhibition by)

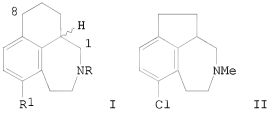
RN 123882-89-3 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



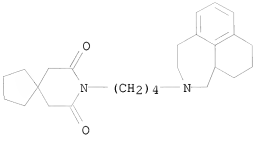
● HCl

L19 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:55570 CAPLUS
DOCUMENT NUMBER: 112:55570
ORIGINAL REFERENCE NO.: 112:9535a,9538a
TITLE: 1,9-Alkano-bridged 2,3,4,5-tetrahydro-1H-3-benzazepines with affinity for the α2-adrenoceptor and the 5-HT1A receptor
AUTHOR(S): Clark, Robin D.; Weinhardt, Klaus K.; Berger, Jacob; Fisher, Lawrence E.; Brown, Christine M.; MacKinnon, Alison C.; Kilpatrick, Andrew T.; Spedding, Michael
CORPORATE SOURCE: Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 633-41
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:55570
GI



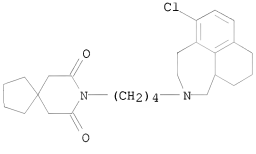
I II

AB A number of 1,9-alkano-bridged 2,3,4,5-tetrahydro-1H-3-benzazepines were prepared and evaluated for 5-HT1A receptor and α2-adrenoceptor affinity by using radioligand receptor-binding techniques. Several compds. displayed 5-HT1A receptor affinity comparable to, or greater than, that of the known 5-HT1A ligand buspirone. The highest affinity 5-HT1A receptor ligands were N-methyl-5-chloro-, N-allyl-5-chloro-, and N-allyl-5-methoxy-1,2,3,4,8,9,10,10a-octahydronaphth[1,8-cd]azepines I (R = Me, allyl, R1 = Cl; R = allyl, R1 = OMe), which had pKi values of 7.9-8.1. The (S)-enantiomer of I (R = Me, R1 = Cl) had a higher affinity for the 5-HT1A receptor than the corresponding (R)-isomer [pKi of 8.2 for (S)-I vs. 7.7 for (R)-I]. These compds. had a relatively low affinity for the α2-adrenoceptor (pKi of 7 or less). On the other hand, the closely related 5-chloro-2-methyl-2,3,4,8,9,9a-hexahydro-1H-indeno[1,7-cd]azepine (II) had high affinity for both the α2-adrenoceptor (pKi = 8.1) and 5-HT1A receptor (pKi = 7.6). These results indicate that the 2 receptors may share common recognition sites.
IT 123882-87-1P 123882-89-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and adrenoceptor and hydroxytryptamine receptor binding activity of)
RN 123882-87-1 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



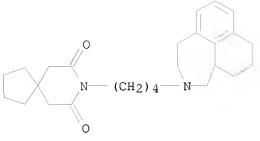
● HCl

RN 123882-89-3 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

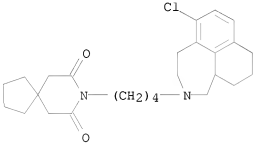


● HCl

IT 123882-86-0P 123882-88-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, adrenoceptor and hydroxytryptamine receptor binding activity, and conversion of, to salt)
RN 123882-86-0 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]- (CA INDEX NAME)



RN 123882-88-2 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]- (CA INDEX NAME)

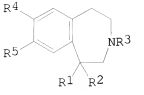


L19 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:75345 CAPLUS
DOCUMENT NUMBER: 110:75345
ORIGINAL REFERENCE NO.: 110:12449a,12452a
TITLE: Substituted benzazepines, their preparation, pharmaceutical compositions containing them, and their use as antipsychotics
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Peters, Marjorie
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 285919	A1	19881012	EP 1988-104758	19880324
EP 285919	B1	19941012		
R: ES, GR				
ZA 8802080	A	19890426	ZA 1988-2080	19880323
WO 8807526	A1	19881006	WO 1988-US899	19880324
W: AU, DK, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8815964	A	19881102	AU 1988-15964	19880324
AU 619744	B2	19920206		
EP 357641	A1	19900314	EP 1988-903596	19880324
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02502723	T	19900830	JP 1988-503399	19880324
JP 06062574	B	19940817		
HU 53882	A2	19901228	HU 1988-2812	19880324
HU 205744	B	19920629		
IL 85855	A	19930221	IL 1988-85855	19880324
CA 1321195	C	19930810	CA 1988-562352	19880324
NO 8805096	A	19881115	NO 1988-5096	19881115
NO 174507	B	19940207		
NO 174507	C	19940518		
DK 8806526	A	19881123	DK 1988-6526	19881123
DK 165688	B	19930104		
DK 165688	C	19930524		
US 5015639	A	19910514	US 1989-322801	19890313
FI 8904566	A	19890927	FI 1989-4566	19890927
US 5247080	A	19930921	US 1991-646574	19910221

PRIORITY APPLN. INFO.: US 1987-32135 A 19870327
WO 1988-US899 A 19880324
US 1989-322801 A3 19890313

OTHER SOURCE(S): MARPAT 110:75345
GI



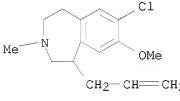
I

AB The title compds. [I; R1 = H, cycloalkyl, cycloalkenyl, cyano, R6X, R7O2C, R7CO2, R72NCO2, R7C.tplbond.C, R72NCO, imidazolyl, pyrrolyl, (un)substituted alkyl, alkenyl, etc.; R2 = H, OH, alkoxy; R1R2 = atoms to complete a carbocycle or heterocycle; R3 = H, alkyl, CH2CHCH2, cyclopropylmethyl; R4 = H, (halo)alkyl, alkoxy, halo; R5 = R8O, R72N, R,9CO2CR102O; R6 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, (un)substituted alkyl; R7 = H, alkyl, alkoxy(alkyl), aryl, aralkyl; R8 = H, R7CO, R72NCO; R9 = alkyl, aryl, aralkyl; R10 = H, alkyl; X = O, S, R7N] and their pharmaceutically acceptable salts were prepared as dopamine D1 receptor antagonists, useful as antipsychotics, antidepressants, and analgesics. 3,4-Cl(MeO)C6H3CH2CH2NHMe was N-alkylated with (EtO)2CHCH2Br and the product was cyclized by heating at 70° with MeSO3H to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = MeO). The latter was deetherified by heating 10 h with EtSNa in DMF to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = OH) (II). In the conditioned avoidance response test in rats II suppressed the response with a min. ED of 1 mg/kg s.c.

IT 118615-45-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antipsychotics)

RN 118615-45-5 CAPLUS

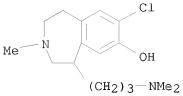
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(2-propenyl)- (9CI) (CA INDEX NAME)



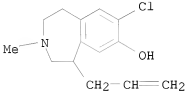
IT 118615-60-4P 118615-62-6P 118615-67-1P
118615-69-3P 118615-70-6P 118615-71-7P
118615-72-8P 118615-83-1P 118615-85-3P
118615-87-5P 118615-88-6P 118615-89-7P
118615-90-0P 118615-91-1P 118652-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic and antidepressant)

RN 118615-60-4 CAPLUS

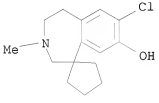
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



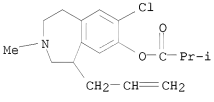
RN 118615-62-6 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-(9CI) (CA INDEX NAME)



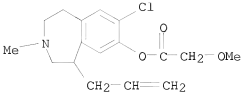
RN 118615-67-1 CAPLUS
CN Spiro[1H-3-benzazepine-1,1'-cyclopentan]-8-ol, 7-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



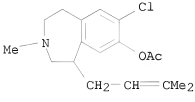
RN 118615-69-3 CAPLUS
CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester (9CI) (CA INDEX NAME)



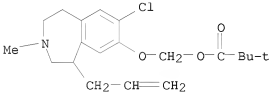
RN 118615-70-6 CAPLUS
CN Acetic acid, methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester (9CI) (CA INDEX NAME)



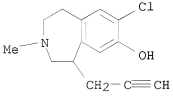
RN 118615-71-7 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, acetate (ester) (9CI) (CA INDEX NAME)



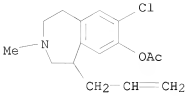
RN 118615-72-8 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl]oxy]methyl ester (9CI) (CA INDEX NAME)



RN 118615-83-1 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propynyl)-(9CI) (CA INDEX NAME)



RN 118615-85-3 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-, acetate (ester), hydrochloride (9CI) (CA INDEX NAME)

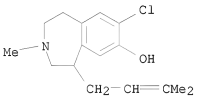


● HCl

RN 118615-87-5 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

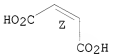
CRN 118615-86-4
CMF C16 H22 Cl N O



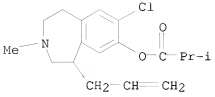
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

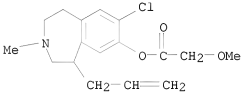


RN 118615-88-6 CAPLUS
CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester, hydrochloride (9CI) (CA INDEX NAME)



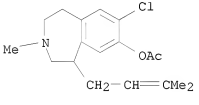
● HCl

RN 118615-89-7 CAPLUS
CN Acetic acid, methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester, hydrochloride (9CI) (CA INDEX NAME)



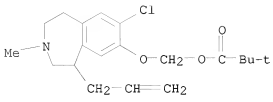
● HCl

RN 118615-90-0 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, acetate (ester), hydrochloride (9CI) (CA INDEX NAME)



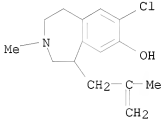
● HCl

RN 118615-91-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [(8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl)oxy]methyl ester, hydrochloride (9CI) (CA INDEX NAME)

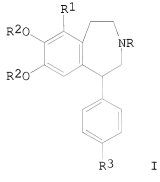


● HCl

RN 118652-79-2 CAPLUS
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

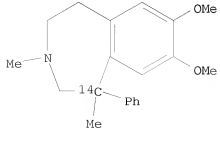


L19 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:84373 CAPLUS
DOCUMENT NUMBER: 106:84373
ORIGINAL REFERENCE NO.: 106:13845a,13848a
TITLE: Strategic considerations in the radiosynthesis of substituted 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine-7,8-diols
AUTHOR(S): Blackburn, Dale; Villani, Anthony; Senderoff, Steve; Landvatter, Scott; Garnes, Keith
CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, 19101, USA
SOURCE: Synth. Appl. Isot. Labeled Compd. Proc. Int. Symp., 2nd (1986), Meeting Date 1985, 309-10. Editor(s): Muccino, Richard Robert. Elsevier: Amsterdam, Neth. CODEN: 55BUAT
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



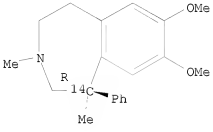
I

AB Benzazepines I (R-R3 = H; R = R2 = H, R1 = Cl, R3 = OH; R = R2 = Me, R1 = R3 = H; R = allyl, R1 = Cl, R2 = H, R3 = OH) labeled with 14C and 3H were prepared
IT 106621-73-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of)
RN 106621-73-2 CAPLUS
CN 1H-3-Benzazepine-1-14C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

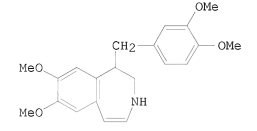


IT 106526-99-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106526-99-2 CAPLUS
CN 1H-3-Benzazepine-1-14C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl-, (R)- (9CI) (CA INDEX NAME)

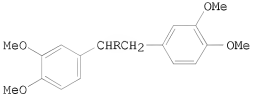
Absolute stereochemistry.



L19 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:34483 CAPLUS
DOCUMENT NUMBER: 98:34483
ORIGINAL REFERENCE NO.: 98:5393a,5396a
TITLE: The synthesis of 7,8-dimethoxy-1-(3,4-dimethoxybenzyl)-2,3-dihydro-1H-3-benzazepine and related compounds
AUTHOR(S): Newton, Roger F.; Sainsbury, Malcolm; Stanley, Paul L. R.
CORPORATE SOURCE: Glaxo Group Res. Ltd., Ware/Herts., SG12 0DJ, UK
SOURCE: Heterocycles (1982), 19(11), 2037-40
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:34483
GI

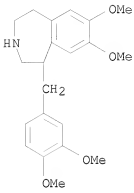


I



II

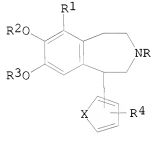
AB The title compound (I) was prepared from phenylacetonitrile derivative II (R = cyano) (III) in a series of reactions. III was reduced, the II (R = CH2NH2) product reacted with BrCH2CH(OEt)2 to yield II [R = CH2NHCH2CH(OEt)2], and the latter was cyclized in HCl to give I.
IT 84122-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84122-17-8 CAPLUS
CN 1H-3-Benzazepine, 1-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)


OMe
HN
OMe
CH2
OMe
OMe

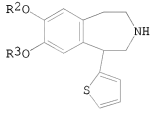
L19 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:156775 CAPLUS
DOCUMENT NUMBER: 94:156775
ORIGINAL REFERENCE NO.: 94:25629a,25632a
TITLE: Substituted 1-thienyl and furyl-2,3,4,5-tetrahydro-1H-3-benzazepine compounds
INVENTOR(S): Holden, Kenneth G.; Yim, Nelson C.
PATENT ASSIGNEE(S): Smith Kline and French Canada Ltd., Can.
SOURCE: Can., 35 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1090797	A1	19801202	CA 1978-305809	19780620
AU 515236	B2	19810326	AU 1978-37471	19780626
AU 7837471	A	19800103		
PRIORITY APPLN. INFO.:			CA 1978-305809 A	19780620

GI



I



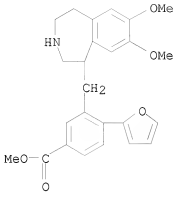
II

AB Benzazepines I (R = H, CH2Ph, CH2CH2Ph, alkanoyl, alkyl, CH2CH2OH, alkenyl; R1 = H, halogen, CF3, SMe, SCF3, Me, OMe; R2, R3 = H, alkyl, alkanoyl; R2R3 = CH2, CH2CH2; R4 = H, halogen, CH2CN, Me, CO2Me; X = O, S) were prepared Thus 2-thiophenecarboxaldehyde was treated with Me3S+I- to give 2-epoxyethylthiophene, which was treated with 3,4-(MeO)2C6H3CH2CH2NH2 and cyclized with acid to give II (R2 = R3 = Me). Demethylation of II (R2 = R3 = Me) with BBr3 gave II.HBr (R2 = R3 = H), which caused a 30% decrease in renal vascular resistance at 30 μg/kg i.v. in dogs and was diuretic at 10 μg/kg min i.v. in dogs.

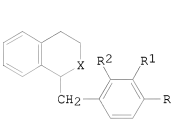
IT 77222-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)

RN 77222-50-5 CAPLUS

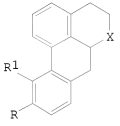
CN Benzoic acid, 4-(2-furanyl)-3-[(2,3,4,5-tetrahydro-7,8-dimethoxy-1H-3-benzazepin-1-yl)methyl]-, methyl ester (CA INDEX NAME)


OMe
HN
OMe
CH2
O
MeO
C
O

L19 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1976:592530 CAPLUS
DOCUMENT NUMBER: 85:192530
ORIGINAL REFERENCE NO.: 85:30786h,30787a
TITLE: Seven-membered heterocycles. 20th Communication. 1-Aralkylated tetrahydro-2-benzazepines. Part III. Synthesis from β-tetralones
AUTHOR(S): Berney, Daniel; Schuh, Karlheinz
CORPORATE SOURCE: Sandoz Res. Unit, Wander Ltd., Bern, Switz.
SOURCE: Helvetica Chimica Acta (1976), 59(6), 2059-67
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 85:192530
GI



I



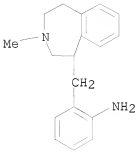
II

AB Benzazepinones I (X = NHCO, R1 = R2 = H, OMe, R2 = H, NO2; R = Cl, R1 = R2 = H) were prepared by Schmidt reaction of the tetralones I (X = CO). Beckmann reaction of I (X = CO) gave I (X = CONH). LiAlH4 reduction gave I (X = NHCH2, CH2NH), which was subjected to N-methylation, reduction of the NO2 group and Pschorr reaction of I (X = NMeCH2, CH2NMe, R2 = NH2) to give the phenanthroazepines II (X = NMeCH2, CH2NMe).

IT 61034-82-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Pschorr reaction of)

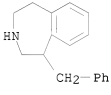
RN 61034-82-0 CAPLUS

CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 61034-74-0P 61034-76-2P 61034-77-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 61034-74-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

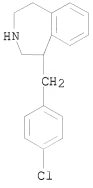


● HCl

RN 61034-76-2 CAPLUS
CN 1,5-Naphthalenedisulfonic acid, compd. with 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-1H-3-benzazepine (1:1) (9CI) (CA INDEX NAME)

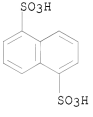
CM 1

CRN 61034-75-1
CMF C17 H18 Cl N

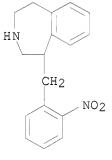


CM 2

CRN 81-04-9
CMF C10 H8 O6 S2



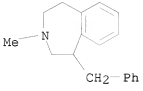
RN 61034-77-3 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]- (CA INDEX NAME)



IT 61034-79-5P 61034-80-8P 61034-81-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61034-79-5 CAPLUS
CN 1,5-Naphthalenedisulfonic acid, compd. with 2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)-1H-3-benzazepine (1:1) (9CI) (CA INDEX NAME)

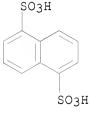
CM 1

CRN 61034-78-4
CMF C18 H21 N

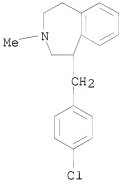


CM 2

CRN 81-04-9
CMF C10 H8 O6 S2



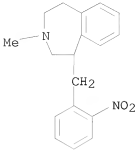
RN 61034-80-8 CAPLUS
CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 61034-81-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:72813 CAPLUS
DOCUMENT NUMBER: 82:72813
ORIGINAL REFERENCE NO.: 82:11631a,11634a
TITLE: Benzazepines
INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
PATENT ASSIGNEE(S): Scherico Ltd.
SOURCE: Patentschrift (Switz.), 8 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 555831	A	19741115	CH 1967-2477	19670217
PRIORITY APPLN. INFO.:			CH 1967-2477 A	19670217

GI For diagram(s), see printed CA Issue.

AB Ten benzazepines I (R = H, Me; R1 = allyl, Me, CH2CH2OH, NR1 = N+Me2I-; R2 = H, Me; R3 = HO, MeO; R4 = H, HO, MeO; Z = H2) and I (R = R1 = R2 = R4 = H, R3 = MeO, Z = O) (II), useful as antibacterials, antidepressants, analgesics, and antihypertensives (no data) were prepared by cyclization of hydroxybis(phenethyl)amines or phenethylcarboxamides. Thus, styrene oxide heated with Ph-CH2CH2NH2 12 hr on a steam bath gave PhCH(OH)CH2NHCH2CH2Ph which cyclized with H2SO4 to give I (R = R1 = R2 = R3 = R4 = H, Z = H2). m-MeOC6H4CH2CH2NH2 and Et mandelate gave N-(m-methoxyphenethyl)mandelamide, cyclized with polyphosphoric acid to give II, which was reduced to the Z = H2 analog with LiAlH4. Reactive sites of I permitted further substitution.

IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20012-03-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

L19 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:418604 CAPLUS
DOCUMENT NUMBER: 79:18604
ORIGINAL REFERENCE NO.: 79:2987a,2990a
TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines
PATENT ASSIGNEE(S): Scherico Ltd.
SOURCE: Fr. M., 25 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

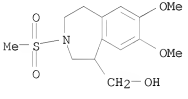
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 8369		19710222	FR 1967-96572	19670227

OTHER SOURCE(S): MARPAT 79:18604
GI For diagram(s), see printed CA Issue.
AB Benzazepines I (R = R1 = R4 = H, R2 = R3 = H, OMe; R = allyl, CH2CH2OH, R1-R4 = H; R = Me.MeI, H.HBr, R1 = R4 = H, R2 = R3 = OMe; R = R2-R4 = H, R1 = Me; R-R3 = H, R4 = Me; R-R2 = R4 = H, R3 = OMe) were prepared Thus styrene oxide was treated with PhCH2CH2NH2 to give PhCH2CH2NHCH2CH(OH)Ph, which was cyclized to I (R-R4 = H) with H2SO4.
IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20012-03-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

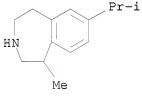
L19 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1972:85677 CAPLUS
DOCUMENT NUMBER: 76:85677
ORIGINAL REFERENCE NO.: 76:13779a,13782a
TITLE: Preparation and properties of aldehydes of 3-benzazepines
AUTHOR(S): Ben Hassine-Coniac, Nicole; Hazerbroucq, Georges; Gardent, Jean
CORPORATE SOURCE: Pharm. Cent., Hop. Paris, Paris, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1971), (11), 3985-92
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB Vilsmeier-Haack formylation of 3-substituted-4,5-dihydro-[3H]-3-benzazepines I (R = MeO, R1 = MeSO2) (II), I (R = MeO, R1 = C7H7SO2) (III), I (R = H, R1 = MeSO2) (IV) with DMF containing POCl3 led to the 1-formyl derivs., resp. Thus, II gave an aldehyde I (R = MeO, R1 = MeSO2, R2 = CHO) (V), which opened reversibly in alkaline solution to give substituted malonic aldehydes (Va); methylation of Va with Me2SO4 gave VI. Treatment of 3-substituted 2,3,4,5-tetrahydro-[1H]-3-benzazepin-1-ones (VII) with DMF containing POCl3 gave unsatd. chloro aldehydes. Thus, VII (R = MeO, R1 = MeSO2) yielded 1-chloro-2-formyl-3-methylsulfonyl-7,8-dimethoxy-4,5-dihydro[3H]-3-benzazepine (VIII). Catalytic reduction of VIII in MeOH-MeONa over Pd/C gave 2-formyl-3-methylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-[1H]-3-benzazepine.
IT 35612-92-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35612-92-1 CAPLUS
CN 1H-3-Benzazepine-1-methanol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(methylsulfonyl)- (CA INDEX NAME)



L19 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1971:141586 CAPLUS
DOCUMENT NUMBER: 74:141586
ORIGINAL REFERENCE NO.: 74:22875a,22878a
TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines as pharmaceutical intermediates
INVENTOR(S): Hoegerle, Karl; Habicht, Ernst
PATENT ASSIGNEE(S): CIBA-Geigy A.-G.
SOURCE: Patentschrift (Switz.), 5 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 500194	A	19701215	CH 1968-500194	19680215
PRIORITY APPLN. INFO.:			CH 1968-2261 A	19680215

GI For diagram(s), see printed CA Issue.
AB The title products (I), which are suitable as pharmaceutical intermediates, are prepared Thus, styrene or a derivative is treated with ethylenimine and Na to obtain a 1-phenyl-2-aziridinoethane (II) which HCl in MeOH yields a N-(2-chloroethyl)phenethylamine hydrochloride. This is heated with AlCl3 or another Lewis acid to obtain I.
IT 23166-93-0P 23266-24-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23166-93-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-isopropyl-1-methyl- (8CI) (CA INDEX NAME)



RN 23266-24-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

L19 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1970:425331 CAPLUS
DOCUMENT NUMBER: 73:25331
ORIGINAL REFERENCE NO.: 73:4210h,4211a
TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benazepines
INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
PATENT ASSIGNEE(S): Scherico Ltd.
SOURCE: Brit. Amended, 13 pp.
CODEN: BSXXAH
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19690415	GB 1967-7632	19670217

AB Same disclosure. This amendment excludes 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine and 1-phenyl-7,8-diethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine from the prepns. and claims.
IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20012-03-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

L19 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1969:461251 CAPLUS
DOCUMENT NUMBER: 71:61251
ORIGINAL REFERENCE NO.: 71:11275a,11278a
TITLE: Tetrahydrobenzazepines
INVENTOR(S): Hoegerle, Karl; Habicht, Ernst
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
SOURCE: S. African, 18 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

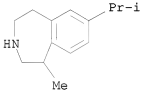
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6801019		19681031		
CH 481110			CH	
CH 488705			CH	
DE 1668915			DE	
DE 1695124			DE	
FR 1561479			FR	
FR 7915			FR	
GB 1221324			GB	
GB 1222397			GB	
US 3652543		19720328	US	19680215
PRIORITY APPLN. INFO.:			CH	19670217
			CH	19670818

OTHER SOURCE(S): MARPAT 71:61251
GI For diagram(s), see printed CA Issue.
AB Title compds. and their addition salts were prepared for use as intermediates in the preparation of pharmaceuticals. The 7-chloro compds. exhibit anorexic action. Pharmaceutical formulations were described. Thus, 389 g. finely powdered N-[(2-chloroethyl)phenylethylamine]-HCl (I) was heated in an oil bath with 470 g. AlCl3, 12 hrs. at 180°, cooled to 100°, poured onto ice, and worked up to give 2,3,4,5-tetrahydro-1H-3-benzazepine (II), b0·1 65°, n20D 1.565; HCl salt m. 248-50°. Styrene (900 ml.) was added dropwise to 745 ml. ethylenimine and 9 g. Na (the 1st 100 ml. styrene was added quickly, and the rest added at such a rate as to keep the temperature at 40-50°), and the mixture stirred overnight at room temperature and worked up to give 1-phenyl-2-aziridinoethane (III), b0·1 48°, n20D 1.5205. III (100 g.) in 100 ml. MeOH was added dropwise at 10-15° to 500 ml. MeOH saturated in an ice bath with HCl, and the mixture worked up to give I, m. 188-90° (EtOH-HOAc). N-(2-Chloroethyl)-2-methyl-2-phenylethylamine-HCl treated with AlCl3 as in the preparation of II gave 5-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine (IIIa), b0·6 72°, n20D 1.5580. 1-Phenyl-1-methyl-2-aziridinoethane (281 g.) was added to 800 ml. EtOH saturated with HCl, and the mixture worked up to give N-(2-chloroethyl)-2-methyl-2-phenylethylamine-HCl, m. 178-80°. N-(2-chloroethyl)-2-(p-chlorophenyl)ethylamine-HCl (IV) (120 g.) treated with AlCl3 as in the preparation of II gave 7-chloro-2,3,4,5-tetrahydro-1H-3-benzazepine, b0·1 110-15°, n20D 1.5765; HCl salt m. 171-3° (MeCN). IV was prepared as in the preparation of II by treatment of 4-chlorostyrene with Na and ethylenimine, and treatment of the N-[2-(p-

chlorophenyl)ethyl]aziridine formed, b0·7 93°, n20D 1.5357, with HCl in MeOH to give IV, m. 189-91° (MeCN). N-(β-Chloro-β-phenylethyl)phenylethylamine-HCl (1 g.) added portionwise at 150° to 14 g. polyphosphoric acid, and the mixture kept 0.5 hr. at 150° and worked up gave 1-phenyl-2,3,-4,5-tetrahydro-1H-3-benzazepine, b. 140-50° (high vacuum). Similarly were prepared the following (m.p. HCl salt given): N-(1-methyl-2-chloroethyl)phenylethylamine, 160-5°; N-(β-chloro-β-phenylethyl)phenylethylamine, 168-70°; N-(2-chlorocyclohexyl)phenylethylamine, 165-7°; N - (2 -chloroethyl)-α-methylphenylethylamine, 149-51°; and N-(2-chloroethyl)-β-methyl-4-isopropylphenylethylamine, 184-6°. Also prepared were the following 2,3,4,5-tetrahydro-1H-3-benzazepines: 2-methyl-, b0·2 60°; 1-phenyl-, b0·01 140-50°; 4-methyl-, b0·2 64°, n20D 1.5507; 5-methyl-8-isopropyl-, b0·2 71-2°, n20D 1.5554; and 2,3,4,4a,5,6,-7,11b-octahydro-1H-dibenz[b,d]azepine, b0·01 150-5°.

IT 23166-93-0P 23266-24-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23166-93-0 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-isopropyl-1-methyl- (8CI) (CA INDEX NAME)



RN 23266-24-2 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

L19 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1968:506576 CAPLUS
DOCUMENT NUMBER: 69:106576
ORIGINAL REFERENCE NO.: 69:19967a,19970a
TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H,3-benzazepines
INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
PATENT ASSIGNEE(S): Scherico Ltd.
SOURCE: Brit., 15 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19680703	GB 1967-7632	19670217
DE 1795540			DE	

GI For diagram(s), see printed CA Issue.

AB The preparation of the title compds. (I) is described. Thus, a mixture of 82 g. styrene oxide and 100 g. d-amphetamine was stirred 12 hrs. on a steam bath, then distilled in vacuo to give PhCH2CHMeNHCH2CH(OH)Ph (II), b1 160-80°, m. 53-5° (petroleum ether), [α]25D + 14.6° (c 1, EtOH). II (15 g.) was slowly added to 100 ml. concentrated H2SO4 at 0°, stirred 1 hr., then poured onto ice-H2O, and worked up to give I (R1 = R2 = R4 = R5 = H, R3 = Me) b1 149-51°, HCl salt, m. 206-7° (iso-PrOH), [α]25D -42.0° (c 1, HCONMe2). Similarly were prepared: PhCH2CH2NHCH2CH(OH)Ph; I (R1-R5 = H) (III), m. 78-80° (hexane), [α]25D -29.9° (c 1, HCONMe2), phenylsuccinate, m. 180-2° (90% EtOH), [α]25D + 55.2° (c 1, HCONMe2); 3,4-(MeO)2C6H3CH2CH2NHCH2CH(OH)Ph, m. 95-8°; I (R1 = R2 = MeO, R3 = R4 = R5 = H) (IV), b2 198-200°, HBr salt, m. 283-5°, acid maleate salt, m. 198-200°; PhCH2CH2NHCH2CMe(OH)Ph, b1 160-8°, HCl salt, m. 142-5° (MeCN); and I (R1 = R2 = R3 = R4 = H, R5 = Me), m. 76-9° (hexane), HCl salt, m. 228-9°. Et mandelate (30 g.) and 25 g. 4-MeOC6H4CH2CH2NH2 were stirred 3 hrs. at 180-90°, and the mixture was cooled to give a precipitate of 4-MeOC6H4CH2CH2NHCOCH(OH)Ph (V), m. 75-6° (Et2O). Powdered V (20 g.) was added slowly to 700 g. polyphosphoric acid, the mixture warmed slowly to 100°, the temperature maintained 1 hr., then cooled, poured onto ice-H2O, and worked up to give 1-phenyl-2-oxo-methoxy-2,3,4,5-tetrahydro- 1H - 3 - benzazepine (VI), m. 169-71° (EtOAc). To 5 g. LiAlH4 stirred in 200 ml. refluxing dioxane was added dropwise a solution of 10 g. VI in 250 ml. dioxane, refluxing continued 3 hrs., the mixture cooled to 20°, treated dropwise 4 times with 0.5 ml. H2O, 4 times with 0.5 ml. 15% aqueous NaOH, and 13.5 ml. H2O, then stirred 1 hr., the precipitate removed, the filtrate evaporated, the residue stirred with 100 ml. 5% HCl and 200 ml. Et2O, and the resulting solution worked up to give I (R1 = R3 = R4 = R5 = H, R2 = MeO). maleate salt, m. 196-7°. To 750 g. polyphosphoric acid stirred at 60-70° was added 18.1 g. 3,4-(MeO)C6H3CH2CH2NHAc, and, after 10-15 min., 18 g. Et mandelate dropwise in 5-10 min., the mixture heated 1 hr. at 90-5°, then poured into 2.5 kg. ice-H2O, the crude 2,4,5-AcNHCH2CH2(MeO)2C6H2C(OH)(CO2Et)Ph extracted with CHCl3, the exts. washed with H2O and dilute aqueous NaHCO3, then heated in vacuo on a steam bath

to constant weight to give, on crystallization from EtOH, 1-phenyl-7,8-dimethoxy-2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine (VII), which was reduced with LiAlH4 to give IV. III (6 g.), 2.4 g. CH2:CHCH2Br, 25 g. anhydrous K2CO3, and 250 ml. anhydrous Me2CO were refluxed 14 hrs. with stirring, cooled, the Me2CO distilled off, the residue dissolved in Et2O-H2O, and the organic layer worked up to give the 3-allyl derivative of III, m. 65-8° (hexane), HCl salt, m. 203-5°. A mixture of 6 g. III, 50 ml. EtOH, and 1 g. ethylene oxide was kept several days at room temperature in a stoppered flask, then distilled to give the 3-(β-hydroxyethyl) derivative of III, m. 95-7° (iso-Pr2O). A mixture of 9 g. IV, 15 ml. 37% HCHO, and 23 ml. 90% HCO2H was refluxed 18 hrs., then 5 ml. concentrated HCl in 10 ml. H2O added, the solution evaporated in vacuo on a steam bath, the residue treated with 25 ml. H2O, evaporated, then Et2O and excess aqueous NaOH added, and the organic layer worked up to give I (R1 = R2 = MeO, R3 = R5 = H, R4 = Me) (VIII), m. 82-4° (hexane). VIII (5 g.) in 5 ml. EtOH was treated with 5 ml. MeI and kept 15 hrs. at room temperature, precipitating 1-phenyl-3,3-dimethyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepinium iodide, m. 246-9°. Refluxing IV with 48% aqueous HBr for 2.5 hrs. under N gave I (R1 = R2 = OH, R3 = R4 = R5 = H) hydrobromide, m. 283-5°. The title compds. have antibacterial, antidepressant, analgesic, and hypotensive activity.

IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20012-03-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

L19 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1968:496507 CAPLUS
DOCUMENT NUMBER: 69:96507
ORIGINAL REFERENCE NO.: 69:18058h,18059a
TITLE: Benzazepines
INVENTOR(S): Walter, Lewis A.; Chang, Wei K.
PATENT ASSIGNEE(S): Schering Corp.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3393192	A	19680716	US 1965-451063	19650426

PRIORITY APPLN. INFO.: US 1965-451063 19650426

GI For diagram(s), see printed CA Issue.

AB Dehydration of (β-hydroxyethyl) (β-phenylalkyl) amines (I) yields the title compds. (II). A mixture of 100 g. PhCH2CH2NH2 and 82 g. styrene oxide (III) kept on a steam bath for 12 hrs., gave PhCH2CH2NHCH2CHPhOH (IIIa). To 100 ml. concentrated H2SO4 at 0-5° was added 15 g. IIIa, and the mixture stirred 1 hr. to give II (A = Ph, R = R1 = R2 = X = Y = H) (IIa). From homoveratrylamine and III was prepared 3,4-(MeO)2C6H3CH2CH2NHCH2CHPhOH, m. 95-8°, which was similarly converted to II (A = Ph, R = R1 = R2 = H, X = Y = MeO) (IIb), b2 198-200°; acid maleate m. 198-200°. From 100 g. d-amphetamine and 82 g. III, heated 12 hrs. on a steam bath, was obtained PhCH2CHMeNHCH2CHPhOH (IIIb), b1 160-80°, m. 53-5° (petroleum ether), [α]2D5 14.6° (1%, EtOH). From 15 g. IIIb and 100 ml. concentrated H2SO4 was prepared 4-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b1 149-51°; hydrochloride, m. 206-7° (iso-PrOH), [α]2D5 42.0° (1%, Me2NCHO). From 60 g. α-methylstyrene oxide and 66 g. PhCH2CH2NH2 on a steam bath 6 hrs. was prepared PhCH2CH2NHCH2CMePhOH, b1 160-8°; hydrochloride m. 143-5° (MeCN), which was converted to 1-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b1 160-60°, m. 76-9° (C6H14); hydrochloride m. 228-9°. Heating 25 g. p-MeOC6H4CH2CH2NH2 and 30 g. PhCH(OH)CO2Et at 180-90° in 3 hrs. gave PhCH(OH)CONHCH2CH2C6H4OMe-p (IV), m. 75-6°. Dehydration of 20 g. IV by heating with 700 g. polyphosphoric acid at 100° for 1 hr. gave 1-phenyl-2-oxo-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine (V) m. 169-71° (EtOAc). Addition of 10 g. V in 250 ml. dioxane to a refluxing suspension of 5 g. LiAlH4 in 200 ml. dioxane and refluxing 3 hrs. gave 1-phenyl-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine as maleate, m. 196-7°. Refluxing 6 g. IIa, 2.4 g. CH2:CHCH2Br, and 25 g. anhydrous K2CO3 in 250 ml. anhydrous Me2CO 14 hrs. gave 1-phenyl-3-allyl-2,3,4,5-tetrahydro-3,1-benzazepine, m. 65-8° (C6H14); hydrochloride m. 203-5°. IIa (6 g.), 1 g. ethylene oxide, and 50 ml. EtOH at room temperature several days gave 1-phenyl-3-(β-hydroxyethyl)-2,3,4,5-tetrahydro-3,1-benzazepine, m. 95-7° (isopropyl ether). Refluxing 9 g. IIb, 15 ml. 37% CH2O, and 23 ml. 90% HCO2H for 18 hrs. gave 7,8-dimethoxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine (VI), m. 82-4° (C6H14). Action of 5 ml. MeI on 5 g. VI in 5 ml. EtOH at room temperature 15 hrs. gave 7,8-dimethoxy-3,3-dimethyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepinium iodide, m. 246-9°. Refluxing 15 g.

IIb and 110 ml. 48% HBr 2.5 hrs. gave 1-phenyl-7,8-dihydroxy-2,3,4,5-tetrahydro-3,1-benzazepine hydrochloride, m. 283-5°. II and their salts have antibacterial, antidepressant, analgesic, and hypotensive effects.

IT 20012-03-7P 20012-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20012-03-7 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)

RN 20012-04-8 CAPLUS
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

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L4            81 S C4-C6-C6N/EA
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L7            82 S C6-C6N-C7/EA
L8         17199 S L3 OR L4 OR L5 OR L6 OR L7
L9           909 S L8 AND SPIRO
L10        44338 S L9 OR L2
L11           50 S L1  SUB=L10 SAM
L12        13163 S L1  SUB=L10 FUL

     FILE 'CAPLUS' ENTERED AT 12:41:55 ON 26 AUG 2008

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L18            6 S L13
L19           40 S L17 OR L18

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L21           64 S L15 NOT L20

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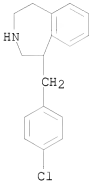
L21 ANSWER 64 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN
RN 61034-75-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)
MF C17 H18 Cl N
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L21 ANSWER 60 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN
RN 763046-70-4 REGISTRY
ED Entered STN: 15 Oct 2004
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-, 7-acetate (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-, acetate (ester) (9CI)
MF C16 H20 Cl N O2
CI COM
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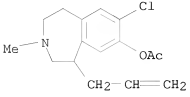

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 ANSWER 61 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN
RN 754922-46-8 REGISTRY
ED Entered STN: 01 Oct 2004
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(CA INDEX NAME)
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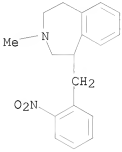
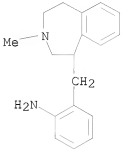
CI COM
SR CA



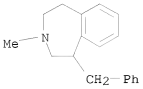
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 ANSWER 62 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN
RN 752147-17-4 REGISTRY
ED Entered STN: 26 Sep 2004
CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-
(CA INDEX NAME)
MF C18 H22 N2
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 ANSWER 63 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN
RN 61034-78-4 REGISTRY
ED Entered STN: 16 Nov 1984
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)- (CA INDEX NAME)
MF C18 H21 N
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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